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=> d his ful

     (FILE 'HOME' ENTERED AT 09:52:07 ON 16 NOV 2005)

     FILE 'HCAPLUS' ENTERED AT 09:55:15 ON 16 NOV 2005
                E WO2003-FR3277/APPS
L1            1 SEA ABB=ON  PLU=ON  WO2003-FR3277/AP
                SEL RN

     FILE 'REGISTRY' ENTERED AT 09:56:24 ON 16 NOV 2005
L2           13 SEA ABB=ON  PLU=ON  (16182-04-0/BI OR 41082-18-2/BI OR
                5452-35-7/BI OR 5470-18-8/BI OR 61963-88-0/BI OR 684648-90-6/BI
                 OR 684648-91-7/BI OR 684648-92-8/BI OR 684648-93-9/BI OR
                684648-94-0/BI OR 684648-95-1/BI OR 9004-10-8/BI OR 9013-02-9/B
                I)

     FILE 'HCAPLUS' ENTERED AT 09:56:36 ON 16 NOV 2005
L3            1 SEA ABB=ON  PLU=ON  L1 AND L2
                D IALL HITSTR L3

     FILE 'REGISTRY' ENTERED AT 10:00:56 ON 16 NOV 2005
L4              STR
L5            0 SEA SSS SAM L4
                D QUE
L6              STR L5
L7            0 SEA SSS SAM L6
L8              STR L7
L9            0 SEA SSS SAM L8
L10          48 SEA SSS FUL L8
L11           4 SEA ABB=ON  PLU=ON  L2 AND L10

     FILE 'HCAPLUS' ENTERED AT 10:18:05 ON 16 NOV 2005
L12          15 SEA ABB=ON  PLU=ON  L10

     FILE 'BEILSTEIN' ENTERED AT 10:18:34 ON 16 NOV 2005
L13           1 SEA SSS FUL L8
L14           0 SEA ABB=ON  PLU=ON  L13 AND RN/FA

     FILE 'MARPAT' ENTERED AT 10:19:57 ON 16 NOV 2005
L15           4 SEA SSS SAM L8
L16           3 SEA ABB=ON  PLU=ON  L15 NOT L12
L17             STR L6
L18           0 SEA SSS SAM L17
L19          12 SEA SSS FUL L17
L20          10 SEA ABB=ON  PLU=ON  L19 NOT L12


     FILE HOME

     FILE HCAPLUS

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strictly prohibited.

FILE COVERS 1907 - 16 Nov 2005 VOL 143 ISS 21
FILE LAST UPDATED: 15 Nov 2005 (20051115/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

FILE REGISTRY
Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 15 NOV 2005 HIGHEST RN 868125-94-4
DICTIONARY FILE UPDATES: 15 NOV 2005 HIGHEST RN 868125-94-4

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2005

Please note that search-term pricing does apply when conducting SmartSELECT searches.

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**********************************************************************
*                                                                    *
* The CA roles and document type information have been removed from *
* the IDE default display format and the ED field has been added,    *
* effective March 20, 2005. A new display format, IDERL, is now      *
* available and contains the CA role and document type information. *
*                                                                    *
**********************************************************************
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Structure search iteration limits have been increased. See HELP SLIMITS for details.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/ONLINE/UG/regprops.html

FILE BEILSTEIN
FILE LAST UPDATED ON OCTOBER 10, 2005

FILE COVERS 1771 TO 2005.
FILE CONTAINS 9,363,954 SUBSTANCES

>>>PLEASE NOTE: Reaction Data and substance data are stored in separate documents and can not be searched together in one query. Reaction data for BEILSTEIN compounds may be displayed immediately with the display codes PRE (preparations) and REA (reactions). A substance answer set retrieved after the search for a chemical name, a compounds with available reaction information by combining with PRE/FA, REA/FA or more generally with RX/FA. The BEILSTEIN Registry Number (BRN) is the link between a BEILSTEIN compound and belonging reactions. For mo detailed reaction searches BRNs can be searched as reaction

partner BRNs Reactant BRN (RX.RBRN) or Product BRN (RX.PBRN).<<<

>>> FOR SEARCHING PREPARATIONS SEE HELP PRE <<<

****
* PLEASE NOTE THAT THERE ARE NO FORMATS FREE OF COST. *
* SET NOTICE FEATURE: THE COST ESTIMATES CALCULATED FOR SET NOTICE *
* ARE BASED ON THE HIGHEST PRICE CATEGORY. THEREFORE; THESE *
* ESTIMATES MAY NOT REFLECT THE ACTUAL COSTS. *
* FOR PRICE INFORMATION SEE HELP COST *
****

NEW

*** PATENT NUMBERS (PN) AND BABS ACCESSION NUMBERS (BABSAN) CAN NOW BE SEARCHED, SELECTED AND TRANSFERRED.**

*** NEW DISPLAY FORMATS ALLREF, ALLP AND BABSAN SHOW ALL REFERENCES, ALL PATENT REFERENCES, OR ALL BABS ACCESSION NUMBERS FOR A COMPOUND AT A GLANCE.**

FILE MARPAT
FILE CONTENT: 1988-PRESENT (VOL 143 ISS 18)(20051113/ED)

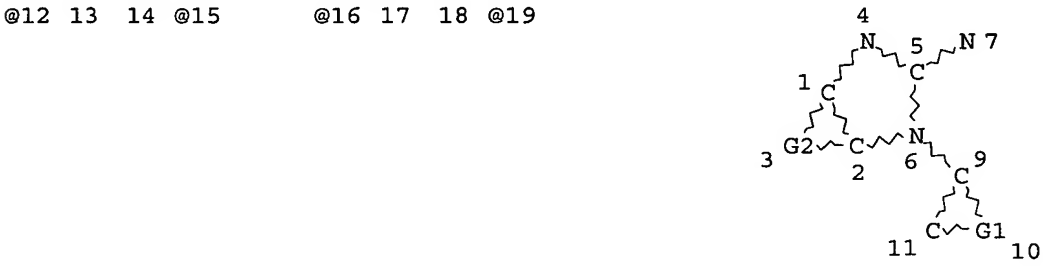
MOST RECENT CITATIONS FOR PATENTS FROM FIVE MAJOR ISSUING AGENCIES (COVERAGE TO THESE DATES IS NOT COMPLETE):

US 6924313 02 AUG 2005
DE 1020040544 04 AUG 2005
EP 1568694 31 AUG 2005
JP 2005213127 11 AUG 2005
WO 2005090358 29 SEP 2005

Expanded G-group definition display now available.

New CAS Information Use Policies, enter HELP USAGETERMS for details.

=> d l12 que stat
L8 STR



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VAR G2=12-1 15-2/16-1 19-2/19-1 16-2/15-1 12-2
NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 18

STEREO ATTRIBUTES: NONE

L10 48 SEA FILE=REGISTRY SSS FUL L8
L12 15 SEA FILE=HCAPLUS ABB=ON PLU=ON L10

=> d l12 ibib abs hitstr 1-15
YOU HAVE REQUESTED DATA FROM FILE 'HCAPLUS' - CONTINUE? (Y)/N:y

L12 ANSWER 1 OF 15 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2005:1116697 HCAPLUS
DOCUMENT NUMBER: 143:367221
TITLE: Preparation of pyridine derivatives as corticotropin releasing factor antagonists for treating CNS disorders
INVENTOR(S): Chen, Yuhpyng L.
PATENT ASSIGNEE(S): Pfizer Inc., USA
SOURCE: U.S., 64 pp., Cont.-in-part of U.S. Ser. No. 254,387.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 6
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6956047	B1	20051018	US 2000-580791	20000530
WO 9639388	A1	19961212	WO 1995-IB437	19950606
W: AU, BR, CA, CN, CZ, FI, HU, JP, KR, MX, NO, NZ, PL, RU, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
PT 832067	T	20031031	PT 1995-918714	19950606
ES 2199991	T3	20040301	ES 1995-918714	19950606
US 6403599	B1	20020611	US 1996-741066	19961030
US 6316631	B1	20011113	US 1999-254387	19990304
US 2001000340	A1	20010419	US 2000-735841	20001213
US 2005032846	A1	20050210	US 2004-912267	20040805

PRIORITY APPLN. INFO.:

WO 1995-IB437	W	19950606
US 1995-6333P	P	19951108
US 1996-741066	A2	19961030
US 1999-254387	A2	19990304
EP 1995-918714	A	19950606
US 2000-580791	A1	20000530

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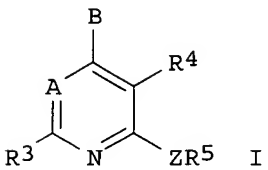


I

AB Corticotropin-releasing factor (CRF) antagonists having the formula I (variables are defined below) and processes for preparing them are disclosed. These compds. and their pharmaceutically acceptable salts are useful in

the treatment disorders including CNS and stress-related disorders. For I the variables are: A = -CR7; B = -NR1R2, -CR1R2R11, -C(:CR2R12)R1, -NHCHR1R2, -OCHR1R2, -SCHR1R2, -CHR2OR1, -CHR1OR2, -CHR2SR1, -CHR2NR1R2, -CHR1NHR2, -CHR1,N(CH3)R2, or -NR12NR1R2; Z = NH, O, S, -N (C1-C2 alkyl)-, -N(C(O)CF2), - or -C(R13R14)-, wherein R13 and R14 = H, CF3, Me, or CN, or -C(R13R14) is a cyclopropyl group, or Z = N or CH and forms a five or six membered optionally substituted heterocyclic ring fused with R5; R1 = C(O)H, C(O)(C1-C6 hydrocarbyl), C(O)(C1-C6-hydrocarbylene),(C3-C8 cyclodrocarbyl), etc.; R2 = H, C1-C12 hydrocarbyl, C3-C8 cyclohydrocarbyl, C4-C8 heterocyclohydrocarbyl, -(C1-C6 hydrocarbylene)(C3-C8 cyclohydrocarbyl), etc.; or when R1 and R2 are as in -NHCHR1R2, -OCHR1R2, -SCHR1R2, -CHR1R2 or -NR1R2, R1 and R2 of B may form a saturated 5- to 8-membered ring which may optionally contain one or two double bonds; R3 = Me, Et, halo, CN, OMe, OCF3, NH2, NH(C1-C2 alkyl), N(CH3)2, -NHCOCF3, -NHCH2CF3, S(O)m(C1-C4 alkyl), CONH2, -CONHCH3, CON(CH3)2, -CF3, or CH2OCH3; R4 is H, C1-C4 hydrocarbyl, C3-C5 cycloalkyl, -(C1-C4 hydrocarbylene)(C3-C5 cycloalkyl), -(C3-C5 cycloalkylene)(C3-C6 cycloalkyl), cyano, halo, etc.;. R5 is aryl or heteroaryl and is substituted with 1-4 substituents R27 independently selected from halo, C1-C10 hydrocarbyl, -(C1-C4 hydrocarbylene)(C3-C8 cycloalkyl), -(C1-C4 hydrocarbylene)(C4-C8 heterocycloalkyl), -(C3-C8 cycloalkyl), -(C4-C8 heterocycloalkyl), -(C3-C8 cycloalkylene)(C3-C8 cycloalkyl), etc., R7 = H, Me, halo, CN, OH, -O(C1-C2)alkyl, -O(cyclopropyl), -COO(C1-C2 alkyl), -COO(C3-C8 cycloalkyl), -OCF3, -CF3, -CH2OH or CH2OCH3; R11 = H, OH, F, OEt, or OMe; and R12 = H or C1-C4 alkyl.

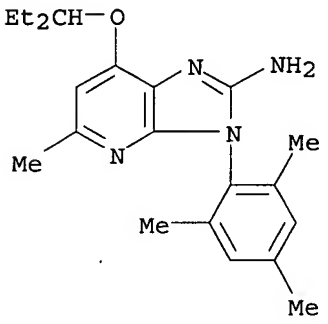
IT **351380-90-0P 351380-94-4P**

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pyridine derivs. as corticotropin releasing factor antagonists for treating CNS disorders)

RN 351380-90-0 HCAPLUS

CN 3H-Imidazo[4,5-b]pyridin-2-amine, 7-(1-ethylpropoxy)-5-methyl-3-(2,4,6-trimethylphenyl)- (9CI) (CA INDEX NAME)



RN 351380-94-4 HCAPLUS

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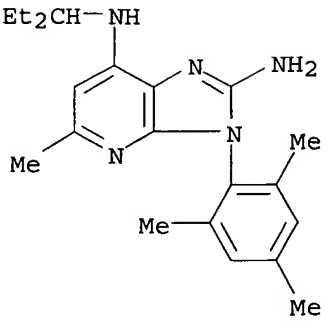

REFERENCE COUNT: 47 THERE ARE 47 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 2 OF 15 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2005:523451 HCAPLUS
DOCUMENT NUMBER: 143:59845
TITLE: Preparation of 1H-imidazo[4,5-c]quinolines for the treatment of protein kinase dependent diseases
INVENTOR(S): Capraro, Hans-Georg; Furet, Pascal; Garcia-Echeverria, Carlos; Stauffer, Frederic
PATENT ASSIGNEE(S): Novartis A.-G., Switz.; Novartis Pharma G.m.b.H.
SOURCE: PCT Int. Appl., 138 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005054238	A1	20050616	WO 2004-EP13179	20041119
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RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

PRIORITY APPLN. INFO.: US 2003-524214P P 20031121
OTHER SOURCE(S): MARPAT 143:59845
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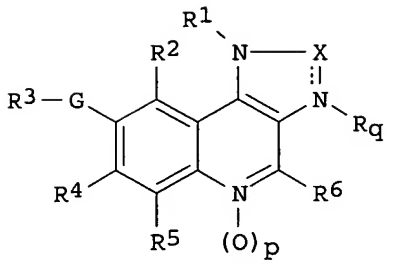


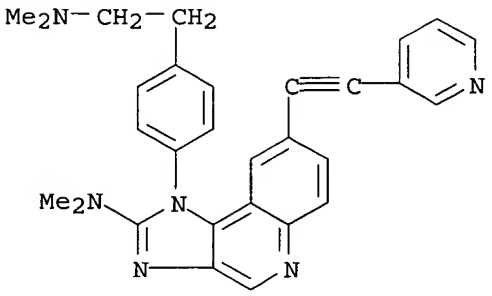
I

AB Title compds. I [p, q = 0-1; R1 = organic moiety that can be bound to N; X = CO, CS with provisions; G = alkenylene, alkynylene, etc.; R2-6 = H, organic moiety; when q = 1, R = ->O] are prepared For instance, 2-[4-[8-(Phenylethynyl)imidazo[4,5-c]quinolin-1-yl]phenyl]ethylamine is prepared in 8 steps from 2-amino-5-bromobenzoic acid, nitromethane, [2-(4-aminophenyl)ethyl]carbamic acid tert-Bu ester, triethylorthoformate and phenylacetylene. Selected example compds. have IC50 ≤ 0.5 μM for PDK1 kinase. I are useful in the treatment of proliferative diseases.

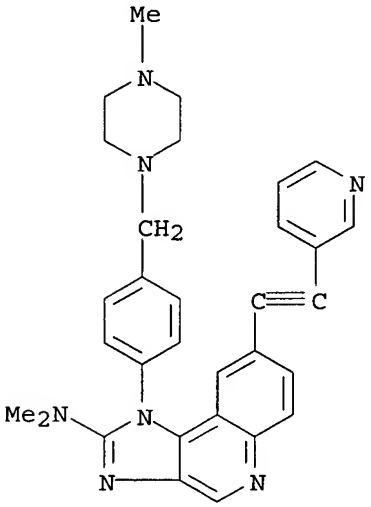
IT **853909-44-1P**, [1-[4-(2-Dimethylaminoethyl)phenyl]-8-[(pyridin-3-yl)ethynyl]-1H-imidazo[4,5-c]quinolin-2-yl]dimethylamine **853909-51-0P**, Dimethyl[1-[4-[(4-methylpiperazin-1-yl)methyl]phenyl]-8-[(pyridin-3-yl)ethynyl]-1H-imidazo[4,5-c]quinolin-2-yl]amine **853909-61-2P**, 5-[2-Dimethylamino-8-[(pyridin-3-yl)ethynyl]imidazo[4,5-c]quinolin-1-yl]-2-(4-methylpiperazin-1-yl)benzonitrile **853909-65-6P**, 5-[2-Dimethylamino-8-[(pyridin-3-yl)ethynyl]imidazo[4,5-c]quinolin-1-yl]-2-(piperazin-1-yl)benzonitrile **853909-69-0P**, 3-[2-Dimethylamino-8-[(pyridin-3-yl)ethynyl]imidazo[4,5-c]quinolin-1-yl]benzonitrile **853909-76-9P**, 4-[2-Dimethylamino-8-[(pyridin-3-yl)ethynyl]imidazo[4,5-c]quinolin-1-yl]benzonitrile **853909-81-6P**, [4-[2-Dimethylamino-8-[(pyridin-3-yl)ethynyl]imidazo[4,5-c]quinolin-1-yl]phenyl]acetonitrile **853909-99-6P**, [4-[2-Dimethylamino-8-[(pyridin-3-yl)ethynyl]imidazo[4,5-c]quinolin-1-yl]-2-fluorophenyl]acetonitrile **853910-07-3P**, 2-[4-[2-Dimethylamino-8-[(pyridin-3-yl)ethynyl]imidazo[4,5-c]quinolin-1-yl]-2-fluorophenyl]-2-methylpropionitrile **853910-12-0P**, 3-[4-[2-Dimethylamino-8-[(pyridin-3-yl)ethynyl]imidazo[4,5-c]quinolin-1-yl]phenyl]propionitrile **853910-17-5P**, 1-[4-[2-Dimethylamino-8-[(pyridin-3-yl)ethynyl]imidazo[4,5-c]quinolin-1-yl]-2-fluorophenyl]pyrrolidin-2-one **853910-22-2P**, 1-[4-[2-Dimethylamino-8-[(pyridin-3-yl)ethynyl]imidazo[4,5-c]quinolin-1-yl]phenyl]pyrrolidin-2-one **853910-27-7P**, 5-[2-Dimethylamino-8-[(pyridin-3-yl)ethynyl]imidazo[4,5-c]quinolin-1-yl]-2-(2-oxopyrrolidin-1-yl)benzonitrile **853910-32-4P**, 3-[4-[2-Dimethylamino-8-[(pyridin-3-yl)ethynyl]imidazo[4,5-c]quinolin-1-yl]-2-fluorophenyl]oxazolidin-2-one **853910-40-4P**, 1-[4-[2-Dimethylamino-8-[(pyridin-3-yl)ethynyl]imidazo[4,5-c]quinolin-1-yl]-2-fluorophenyl]pyrrolidine-2,5-dione
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 1H-imidazo[4,5-c]quinolines for treatment of protein kinase dependent diseases)

RN 853909-44-1 HCAPLUS

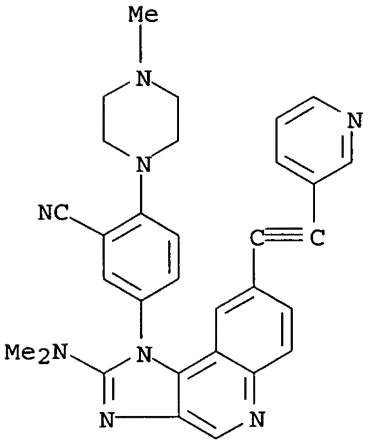
CN 1H-Imidazo[4,5-c]quinolin-2-amine, 1-[4-[2-(dimethylamino)ethyl]phenyl]-N,N-dimethyl-8-(3-pyridinylethynyl)- (9CI) (CA INDEX NAME)



RN 853909-51-0 HCAPLUS
CN 1H-Imidazo[4,5-c]quinolin-2-amine, N,N-dimethyl-1-[4-[(4-methyl-1-piperazinyl)methyl]phenyl]-8-(3-pyridinylethynyl)- (9CI) (CA INDEX NAME)



RN 853909-61-2 HCAPLUS
CN Benzonitrile, 5-[2-(dimethylamino)-8-(3-pyridinylethynyl)-1H-imidazo[4,5-c]quinolin-1-yl]-2-(4-methyl-1-piperazinyl)- (9CI) (CA INDEX NAME)



RN 853909-65-6 HCAPLUS
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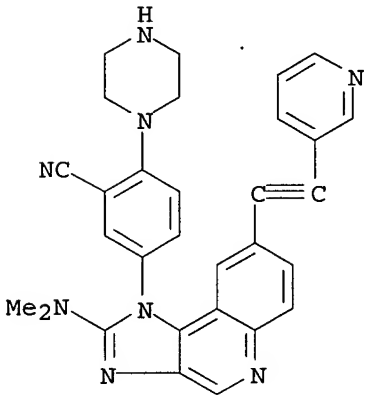

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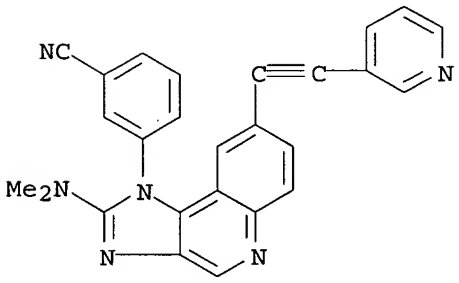

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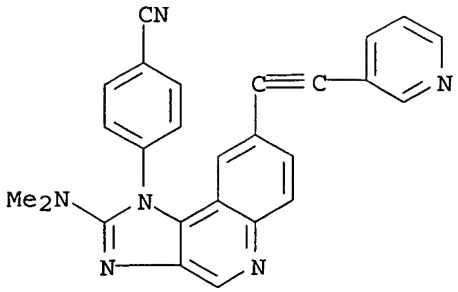

RN 853909-81-6 HCAPLUS
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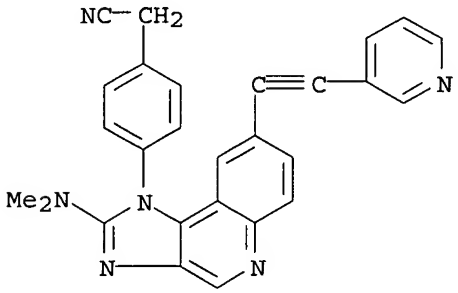

RN 853909-99-6 HCAPLUS
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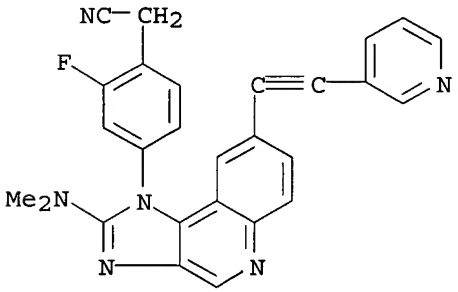

RN 853910-07-3 HCAPLUS
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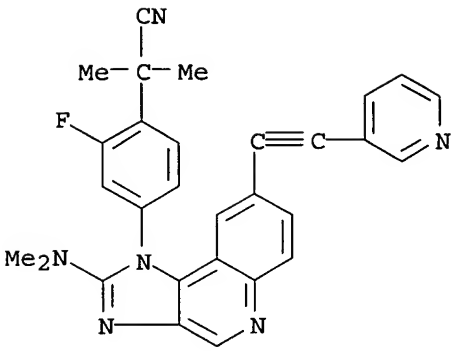
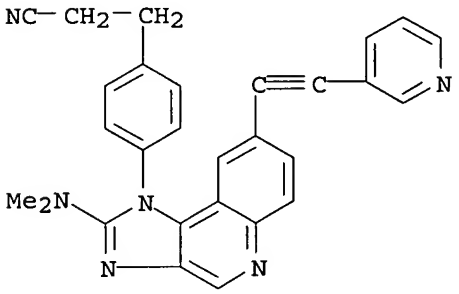
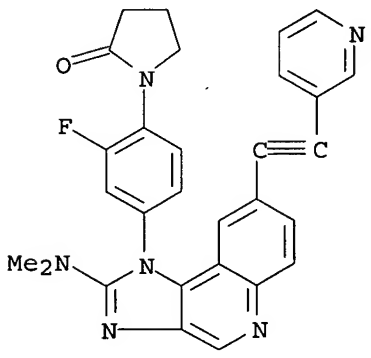


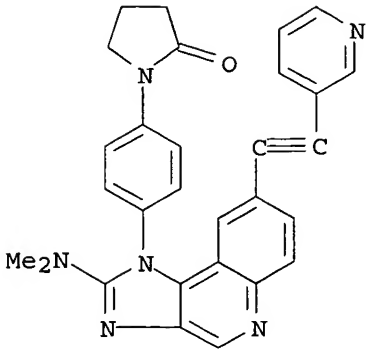
RN 853910-12-0 HCAPLUS
CN Benzenepropanenitrile, 4-[2-(dimethylamino)-8-(3-pyridinylethynyl)-1H-imidazo[4,5-c]quinolin-1-yl]- (9CI) (CA INDEX NAME)



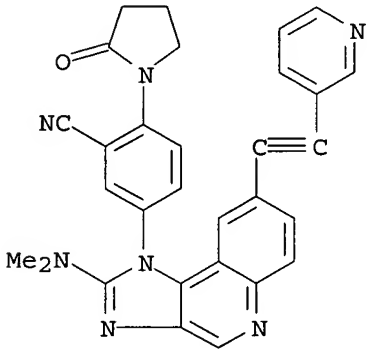
RN 853910-17-5 HCAPLUS
CN 2-Pyrrolidinone, 1-[4-[2-(dimethylamino)-8-(3-pyridinylethynyl)-1H-imidazo[4,5-c]quinolin-1-yl]-2-fluorophenyl]- (9CI) (CA INDEX NAME)



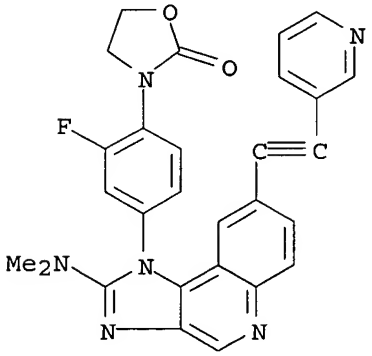
RN 853910-22-2 HCAPLUS
CN 2-Pyrrolidinone, 1-[4-[2-(dimethylamino)-8-(3-pyridinylethynyl)-1H-imidazo[4,5-c]quinolin-1-yl]phenyl]- (9CI) (CA INDEX NAME)



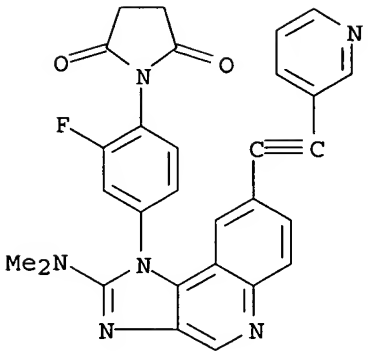
RN 853910-27-7 HCAPLUS
CN Benzonitrile, 5-[2-(dimethylamino)-8-(3-pyridinylethynyl)-1H-imidazo[4,5-c]quinolin-1-yl]-2-(2-oxo-1-pyrrolidinyl)- (9CI) (CA INDEX NAME)



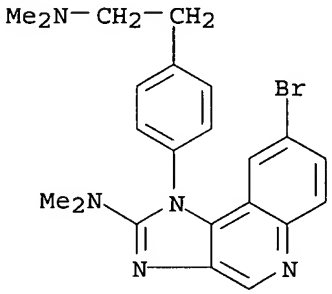
RN 853910-32-4 HCAPLUS
CN 2-Oxazolidinone, 3-[4-[2-(dimethylamino)-8-(3-pyridinylethynyl)-1H-imidazo[4,5-c]quinolin-1-yl]-2-fluorophenyl]- (9CI) (CA INDEX NAME)



RN 853910-40-4 HCAPLUS
CN 2,5-Pyrrolidinedione, 1-[4-[2-(dimethylamino)-8-(3-pyridinylethynyl)-1H-imidazo[4,5-c]quinolin-1-yl]-2-fluorophenyl]- (9CI) (CA INDEX NAME)



IT **853909-45-2P**, [8-Bromo-1-[4-(2-dimethylaminoethyl)phenyl]-1H-imidazo[4,5-c]quinolin-2-yl]dimethylamine
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of 1H-imidazo[4,5-c]quinolines for treatment of protein kinase dependent diseases)
RN 853909-45-2 HCAPLUS
CN 1H-Imidazo[4,5-c]quinolin-2-amine, 8-bromo-1-[4-[2-(dimethylamino)ethyl]phenyl]-N,N-dimethyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 3 OF 15 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2005:523450 HCAPLUS
DOCUMENT NUMBER: 143:59980
TITLE: Preparation of imidazoquinoline derivatives as protein kinase inhibitors
INVENTOR(S): Capraro, Hans-Georg; Caravatti, Giorgio; Furet, Pascal; Garcia-Echeverria, Carlos; Imbach, Patricia; Stauffer, Frederic
PATENT ASSIGNEE(S): Novartis A.-G., Switz.; Novartis Pharma G.m.b.H.
SOURCE: PCT Int. Appl., 121 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

WO 2005054237	A1	20050616	WO 2004-EP13178	20041119

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

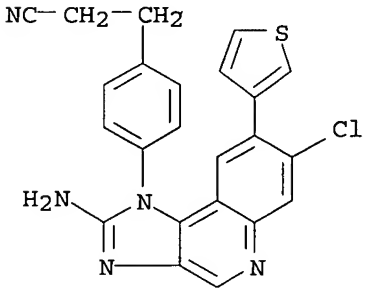
PRIORITY APPLN. INFO.: US 2003-524229P P 20031121
OTHER SOURCE(S): MARPAT 143:59980
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [m and n independently = 0-1; R1 = organic moiety that can be bound to nitrogen; X = C:O, C:S, CR7; R2, R3, R4, R5, R6 and R7 independently = H, organic or inorg. moiety with provisions] and their pharmaceutically acceptable salts, are prepared and disclosed as inhibitors of protein kinase. Thus, e.g., II was prepared by palladium catalyzed cross coupling of [4-(8-bromo-imidazo[4,5-c]quinolin-1-yl)-phenyl]-acetonitrile (preparation given) with 3,4-methylenedioxyphenylboronic acid. The activity of I to inhibit protein kinases was evaluated and it revealed that compds. of the invention possessed IC50 values in the range of 0.001 up to 20 μM against RET. I as protein kinase inhibitors should prove useful in the treatment of proliferative diseases such as, but not limited to, carcinoma of the brain, kidney and liver. Pharmaceutical compns. comprising I are disclosed.

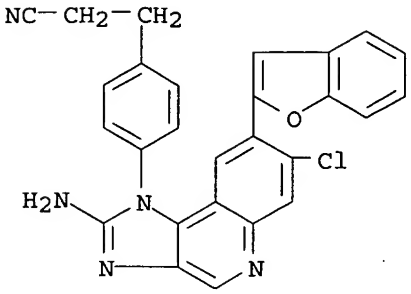
IT **854272-32-5P 854272-33-6P**
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of imidazoquinoline derivs. as protein kinase inhibitors)

RN 854272-32-5 HCAPLUS
CN Benzenepropanenitrile, 4-[2-amino-7-chloro-8-(3-thienyl)-1H-imidazo[4,5-c]quinolin-1-yl]- (9CI) (CA INDEX NAME)

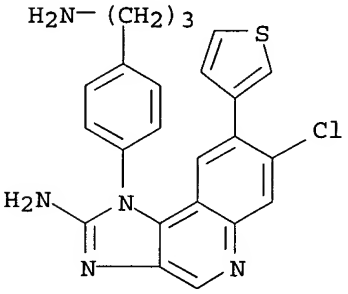


RN 854272-33-6 HCAPLUS
CN Benzenepropanenitrile, 4-[2-amino-8-(2-benzofuranyl)-7-chloro-1H-

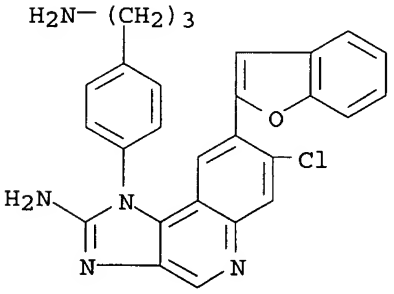
imidazo[4,5-c]quinolin-1-yl]- (9CI) (CA INDEX NAME)



IT **854272-34-7P 854272-35-8P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of imidazoquinoline derivs. as protein kinase inhibitors)
RN 854272-34-7 HCAPLUS
CN 1H-Imidazo[4,5-c]quinolin-2-amine, 1-[4-(3-aminopropyl)phenyl]-7-chloro-8-(3-thienyl)- (9CI) (CA INDEX NAME)

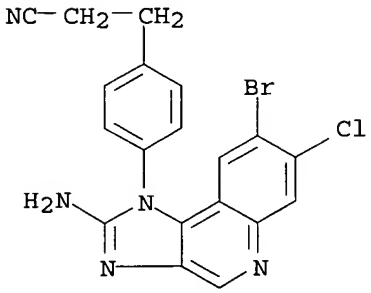


RN 854272-35-8 HCAPLUS
CN 1H-Imidazo[4,5-c]quinolin-2-amine, 1-[4-(3-aminopropyl)phenyl]-8-(2-benzofuranyl)-7-chloro- (9CI) (CA INDEX NAME)



IT **854273-05-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of imidazoquinoline derivs. as protein kinase inhibitors)
RN 854273-05-5 HCAPLUS

CN Benzenepropanenitrile, 4-(2-amino-8-bromo-7-chloro-1H-imidazo[4,5-c]quinolin-1-yl)- (9CI) (CA INDEX NAME)

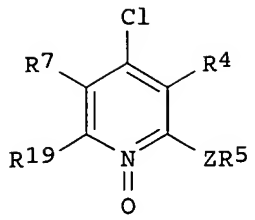


REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 4 OF 15 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2005:52015 HCAPLUS
DOCUMENT NUMBER: 142:134615
TITLE: Preparation of pyridine and pyrimidine derivatives as corticotropin releasing factor antagonists
INVENTOR(S): Chen, Yuhpyng L.
PATENT ASSIGNEE(S): Pfizer Inc., USA
SOURCE: U.S., 61 pp., Cont.-in-part of U.S. Ser. No. 254,387.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 6
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6844351	B1	20050118	US 2000-583372	20000531
WO 9533750	A1	19951214	WO 1995-IB439	19950606
W: AU, CA, CN, CZ, FI, HU, JP, KR, MX, NO, NZ, PL, RU, SK, UA, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
PT 832067	T	20031031	PT 1995-918714	19950606
ES 2199991	T3	20040301	ES 1995-918714	19950606
US 6403599	B1	20020611	US 1996-741066	19961030
US 6316631	B1	20011113	US 1999-254387	19990304
US 2001000340	A1	20010419	US 2000-735841	20001213
PRIORITY APPLN. INFO.:			WO 1995-IB439 A2	19950606
			US 1995-6333P P	19951108
			US 1996-741066 A1	19961030
			US 1999-254387 A2	19990304
			US 1994-255514 A	19940608
			EP 1995-918714 A	19950606
			WO 1995-IB437 W	19950606

OTHER SOURCE(S): MARPAT 142:134615
GI



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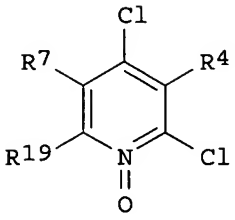
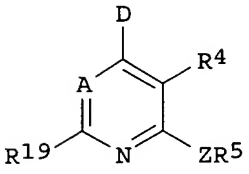
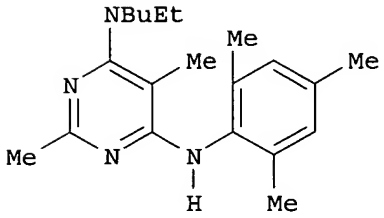


II



III

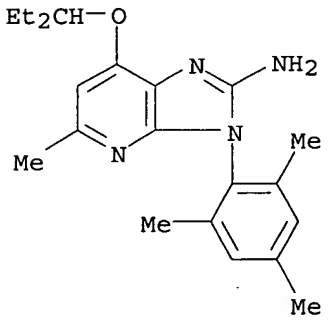


IV

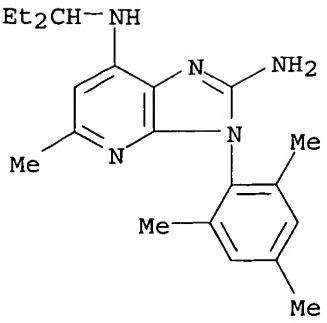
AB Title compds. [I-III; R7 = H, Me, halo, CN, etc.; D = Cl, OH, CN; R19 = Me, Et; R5 = substituted Ph, pyridyl; R4 = H, alkyl, halo, alkoxy, etc.; A = N, CH, C(Me); Z = O, NH, N(Me), S, CH2; with the proviso that when A = CH or C(Me), then Z must be O or S], useful in treating disorders including CNS and stress-related disorders, were prepared Thus, 2,5-dimethyl-4,6-dichloropyrimidine was aminated by BuNHEt and the product aminated by 2,4,6-Me3C6H2NH2 to give title compound IV. Binding activities for the title compds., expressed as IC50 values, generally range from about 0.5nM to about 10μM.

IT **351380-90-0P 351380-94-4P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyridine and pyrimidine derivs. as ACTH releasing factor antagonists)

RN 351380-90-0 HCAPLUS
CN 3H-Imidazo[4,5-b]pyridin-2-amine, 7-(1-ethylpropoxy)-5-methyl-3-(2,4,6-trimethylphenyl)- (9CI) (CA INDEX NAME)



RN 351380-94-4 HCAPLUS
CN 3H-Imidazo[4,5-b]pyridine-2,7-diamine, N7-(1-ethylpropyl)-5-methyl-3-(2,4,6-trimethylphenyl)- (9CI) (CA INDEX NAME)

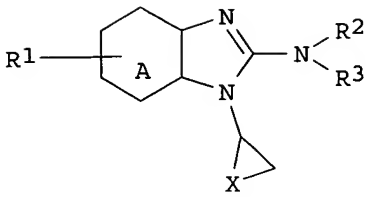


REFERENCE COUNT: 29 THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

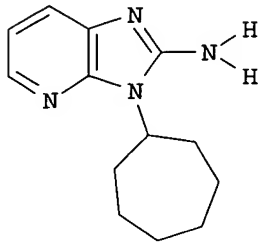
L12 ANSWER 5 OF 15 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:370796 HCAPLUS
DOCUMENT NUMBER: 140:375173
TITLE: Preparation of imidazopyridines as AMPK activators for treating diabetes and hyperlipidemia
INVENTOR(S): Rault, Sylvain; Lancelot, Jean Charles; Kopp, Marina; Caignard, Daniel Henri; Pfeiffer, Bruno; Renard, Pierre; Bizot Espiard, Jean Guy
PATENT ASSIGNEE(S): Les Laboratoires Servier, Fr.
SOURCE: Fr. Demande, 21 pp.
CODEN: FRXXBL
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2846656	A1	20040507	FR 2002-13802	20021105
FR 2846656	B1	20041224		
CA 2504008	AA	20040527	CA 2003-2504008	20031104
WO 2004043957	A1	20040527	WO 2003-FR3277	20031104
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1558612	A1	20050803	EP 2003-767889	20031104
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003015800	A	20050920	BR 2003-15800	20031104
PRIORITY APPLN. INFO.:			FR 2002-13802 A	20021105
			WO 2003-FR3277 W	20031104

OTHER SOURCE(S): MARPAT 140:375173
GI



I



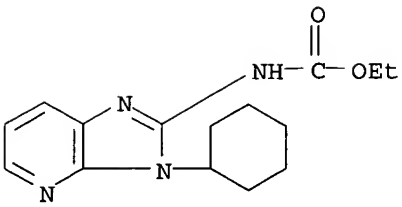
II

AB Title compds. I [wherein R1 = H, halo, polyhalogeno/alkyl, CN, NO2, hydroxycarbonyl, alkoxycarbonyl, aminocarbonyl, alkylaminocarbonyl, or dialkylaminocarbonyl; R2 = H, alkyl, (un)substituted hetero/aryl; R3 = H, alkyl; X = (CH2)n; n = 1-6; A = pyridine ring; their enantiomers, diastereoisomers, and their addition salts with a pharmaceutically acceptable acid or base] were prepared as AMP protein kinase (AMPK) activators for treating diabetes and hyperlipidemia. Thus, II (m.p. = 210°) was prepared by reaction of 3-amino-2-cycloheptylaminopyridine with ethoxycarbonyl isothiocyanate in DMF for 3 h, intramol. cyclization in MeOH in the presence of base, and ethoxycarbonyl deprotection in the presence of gaseous HCl and dioxane at reflux for 12 h. II, at 500 μM, activated AMP kinase after 30 min by 312% compared to 178% activation by 5-aminoimidazole-4-carboxamidoriboside in a cellular model. II at 125 mg/kg and metformin at 250 mg/kg reduced triglycerides to the same level in rats. Thus, I are useful for treating hypercholesterolemia, diabetes, hyperlipidemia, obesity, and cardiovascular complications.

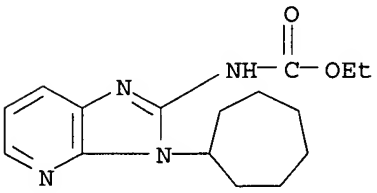
IT **684648-91-7P**, Ethyl (3-Cyclohexyl-3H-imidazo[4,5-b]pyridin-2-yl)carbamate **684648-93-9P**, Ethyl (3-Cycloheptyl-3H-imidazo[4,5-b]pyridin-2-yl)carbamate **684648-94-0P**, 3-Cyclohexyl-3H-imidazo[4,5-b]pyridin-2-amine **684648-95-1P**, 3-Cycloheptyl-3H-imidazo[4,5-b]pyridin-2-amine
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(AMPK activator; preparation of imidazopyridines as AMPK activators for treating diabetes and hyperlipidemia)

RN 684648-91-7 HCAPLUS

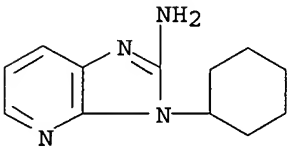
CN Carbamic acid, (3-cyclohexyl-3H-imidazo[4,5-b]pyridin-2-yl)-, ethyl ester (9CI) (CA INDEX NAME)



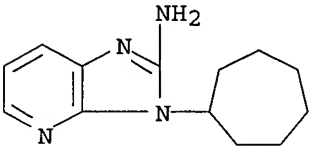
RN 684648-93-9 HCAPLUS
CN Carbamic acid, (3-cycloheptyl-3H-imidazo[4,5-b]pyridin-2-yl)-, ethyl ester (9CI) (CA INDEX NAME)



RN 684648-94-0 HCAPLUS
CN 3H-Imidazo[4,5-b]pyridin-2-amine, 3-cyclohexyl- (9CI) (CA INDEX NAME)



RN 684648-95-1 HCAPLUS
CN 3H-Imidazo[4,5-b]pyridin-2-amine, 3-cycloheptyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 6 OF 15 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2003:836829 HCAPLUS
DOCUMENT NUMBER: 139:323519
TITLE: Preparation of imidazoarenes as prostaglandin E2 subtype EP4 receptor antagonists for treatment of IL-6 involved diseases
INVENTOR(S): Shimojo, Masato; Taniguchi, Kana
PATENT ASSIGNEE(S): Pfizer Pharmaceuticals Inc., Japan; Pfizer Inc.
SOURCE: PCT Int. Appl., 427 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent

LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2003086371	A2	20031023	WO 2003-IB1310		20030403
WO 2003086371	A3	20040603			
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW					
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
CA 2481535	AA	20031023	CA 2003-2481535		20030403
EP 1499305	A2	20050126	EP 2003-710104		20030403
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK					
BR 2003009200	A	20050222	BR 2003-9200		20030403
JP 2005533756	T2	20051110	JP 2003-583392		20030403
US 2003236260	A1	20031225	US 2003-411491		20030410
NO 2004004462	A	20050111	NO 2004-4462		20041020
PRIORITY APPLN. INFO.:			US 2002-372364P	P	20020412
			WO 2003-IB1310	W	20030403

OTHER SOURCE(S): MARPAT 139:323519
GI

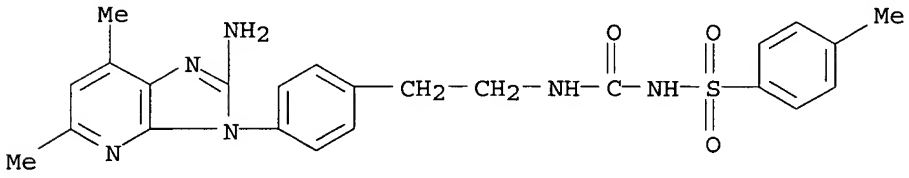
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The present invention relates to the use of a prostaglandin E2 (PGE2) subtype EP4 receptor ligand in the manufacture of a medicament for the treatment of interleukin 6 (IL-6) involved diseases, such as alc. cirrhosis, amyloidosis, atherosclerosis, cardiac disease, sclerosis, and organ transplantation reactions (no data). The invention also relates to the assay which comprises culturing peripheral whole blood with a test compound and determining the effect of the compound on PGE2-induced whole blood cells activation. Three hundred eighty title compds. I [wherein Y1-Y4 = N, CH, CL; R1 = H, (un)substituted alkyl, alkenyl, alkynyl, cycloalkyl, alkoxy, pyrrolidinyl, amino, etc.; A = (un)substituted 5-6 membered (un)substituted monocyclic (hetero)aromatic ring; B = halo-substituted alkylene, cycloalkylene, alkenylene, alkynylene, alkyleneoxy, etc., optionally substituted with an oxo or alkyl group; W = amino, O, S, bond, etc.; R2 = H, OH, alkyl, alkoxy; Z = 5-12 membered (un)substituted monocyclic or bicyclic (hetero)aryl; L = halo, alkyl, haloalkyl, OH, alkoxy, haloalkoxy, alkylthio, NO2, amino, etc.] were prepared Thus, cycloaddn. of 2-[4-[(3-amino-4,6-dimethyl-2-pyridinyl)amino]phenyl]ethanol (4-step preparation given) with propionyl chloride in toluene provided 2-[4-(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)phenyl]ethyl propionate, which was treated with aqueous LiOH to give the ethanol derivative (86%). Chlorination (90%) using thionyl chloride, conversion to the azide (85%), and Pd/C catalyzed hydrogenation afforded the amine (94%). Coupling of the amine with p-toluenesulfonyl isocyanate in CH2Cl2 gave II

(56%). The latter significantly inhibited IL-6 secretion by PGE2 in ConA-stimulated human peripheral blood mononuclear cells (PBMC).

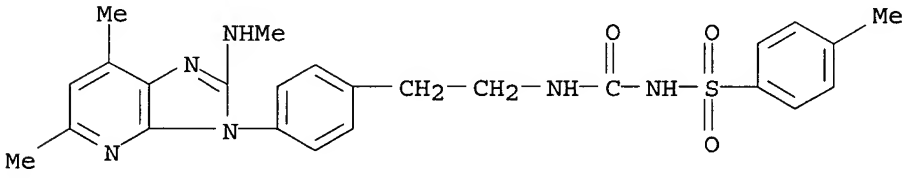
IT **415906-71-7P 415906-73-9P 415906-74-0P 415906-75-1P 415906-76-2P 415906-77-3P 415906-78-4P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of imidazoarene prostaglandin EP4 receptor antagonists for treatment of IL-6 involved diseases)

RN 415906-71-7 HCAPLUS
CN Benzenesulfonamide, N-[[[2-[4-(2-amino-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)phenyl]ethyl]amino]carbonyl]-4-methyl-, dihydrochloride (9CI) (CA INDEX NAME)

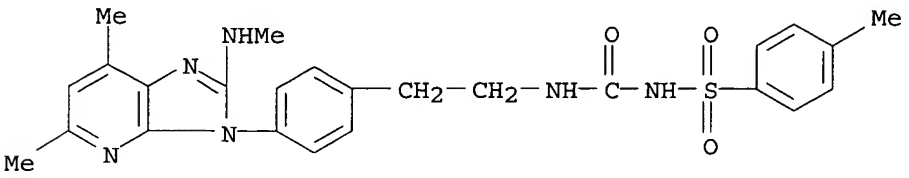


●2 HCl

RN 415906-73-9 HCAPLUS
CN Benzenesulfonamide, N-[[[2-[4-[5,7-dimethyl-2-(methylamino)-3H-imidazo[4,5-b]pyridin-3-yl]phenyl]ethyl]amino]carbonyl]-4-methyl- (9CI) (CA INDEX NAME)

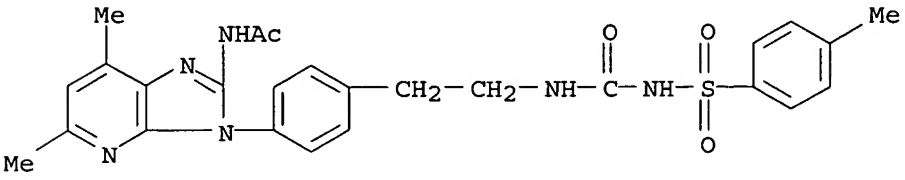


RN 415906-74-0 HCAPLUS
CN Benzenesulfonamide, N-[[[2-[4-[5,7-dimethyl-2-(methylamino)-3H-imidazo[4,5-b]pyridin-3-yl]phenyl]ethyl]amino]carbonyl]-4-methyl-, monohydrochloride (9CI) (CA INDEX NAME)

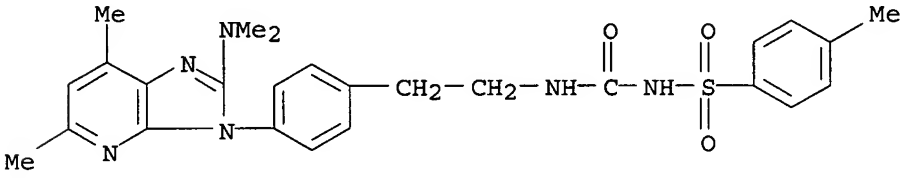


● HCl

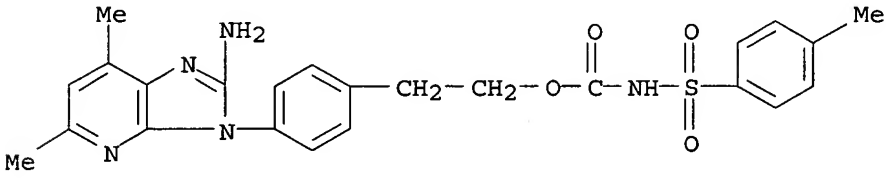
RN 415906-75-1 HCAPLUS
CN Acetamide, N-[5,7-dimethyl-3-[4-[2-[[[[(4-methylphenyl)sulfonyl]amino]carbonyl]amino]ethyl]phenyl]-3H-imidazo[4,5-b]pyridin-2-yl]- (9CI) (CA INDEX NAME)



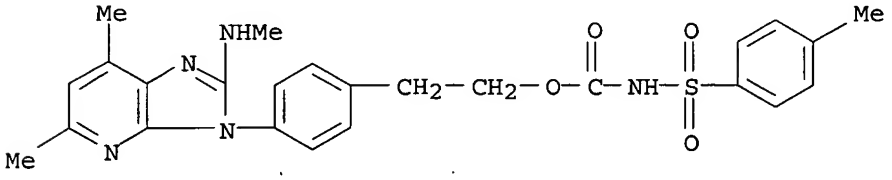
RN 415906-76-2 HCAPLUS
CN Benzenesulfonamide, N-[[[2-[4-[2-(dimethylamino)-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl]phenyl]ethyl]amino]carbonyl]-4-methyl- (9CI) (CA INDEX NAME)



RN 415906-77-3 HCAPLUS
CN Carbamic acid, [(4-methylphenyl)sulfonyl]-, 2-[4-(2-amino-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)phenyl]ethyl ester (9CI) (CA INDEX NAME)



RN 415906-78-4 HCAPLUS
CN Carbamic acid, [(4-methylphenyl)sulfonyl]-, 2-[4-[5,7-dimethyl-2-(methylamino)-3H-imidazo[4,5-b]pyridin-3-yl]phenyl]ethyl ester (9CI) (CA INDEX NAME)

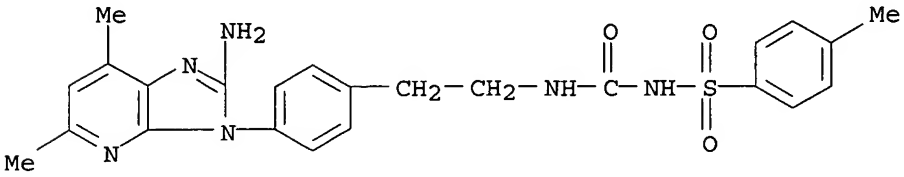


IT **415913-20-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of imidazoarene prostaglandin EP4 receptor antagonists for

treatment of IL-6 involved diseases)

RN 415913-20-1 HCAPLUS

CN Benzenesulfonamide, N-[[[2-[4-(2-amino-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)phenyl]ethyl]amino]carbonyl]-4-methyl- (9CI) (CA INDEX NAME)



L12 ANSWER 7 OF 15 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2002:574934 HCAPLUS

DOCUMENT NUMBER: 137:140524

TITLE: Preparation of imidazo fused heterocycles as corticotropin releasing factor inhibitors

INVENTOR(S): Dubowchik, Gene M.; Han, Xiaojun; Vrudhula, Vivekananda M.; Zuev, Dmitry; Dasgupta, Bireshwar; Michne, Jodi A.

PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA

SOURCE: PCT Int. Appl., 321 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002058704	A1	20020801	WO 2002-US841	20020111
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2434558	AA	20020801	CA 2002-2434558	20020111
US 2002183375	A1	20021205	US 2002-44183	20020111
US 6888004	B2	20050503		
EP 1359916	A1	20031112	EP 2002-705754	20020111
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
EE 200300342	A	20031215	EE 2003-342	20020111
BR 2002006698	A	20040420	BR 2002-6698	20020111
CN 1499972	A	20040526	CN 2002-807135	20020111
JP 2004531475	T2	20041014	JP 2002-559038	20020111
ZA 2003005531	A	20040727	ZA 2003-5531	20030717
BG 107999	A	20040831	BG 2003-107999	20030717
NO 2003003350	A	20030922	NO 2003-3350	20030725
US 2004254382	A1	20041216	US 2004-767645	20040129
US 2004225130	A1	20041111	US 2004-771661	20040204

US 2004225001	A1	20041111	US 2004-771766		20040204
US 2004235924	A1	20041125	US 2004-772027		20040204
PRIORITY APPLN. INFO.:			US 2001-264570P	P	20010126
			US 2002-44183	A3	20020111
			WO 2002-US841	W	20020111

OTHER SOURCE(S): MARPAT 137:140524

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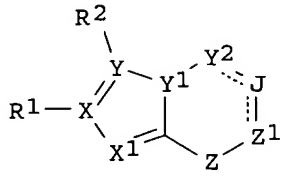

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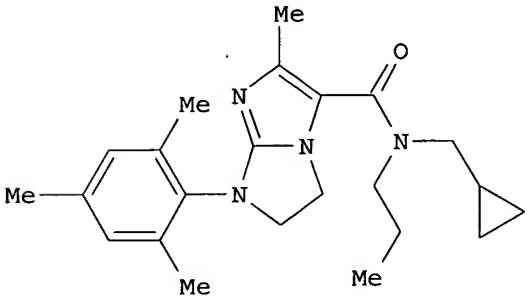


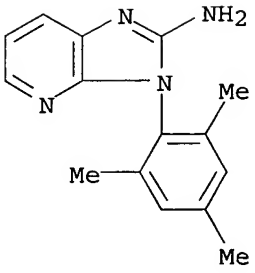
II

AB The title compds. [I; R1 = H, alkyl, haloalkyl, etc.; R2 = CDNR3R4, CH2NR3R4, etc.; D = O, S; R3, R4 = H, alkyl, haloalkyl, etc.; or NR3R4 = 5-6 membered heterocycle; X = C; Y = C; X1 = N; Y1 = N; Y2 = N, CH, CH2, CO, etc.; J = a bond, CH, CH2, CO, etc.; Z1 = CH, CH2, CO, etc.; Z = NV (wherein V = (un)substituted Ph, 2- or 3-pyridyl)], useful for the treatment of depression, anxiety, affective disorders, feeding disorders, post-traumatic stress disorder, headache, drug addiction, inflammatory disorders, drug or alc. withdrawal symptoms and other conditions the treatment of which can be effected by the antagonism of the CRF-1 receptor, were prepared E.g., a 5-step synthesis of II (starting with 2,4,6-trimethylaniline) which showed Ki of < 1,000 nM against CRF1 receptor binding.

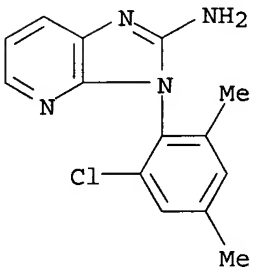
IT **444325-91-1P 444325-92-2P 444325-97-7P 444325-98-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of imidazo fused heterocycles as corticotropin releasing factor inhibitors)

RN 444325-91-1 HCAPLUS

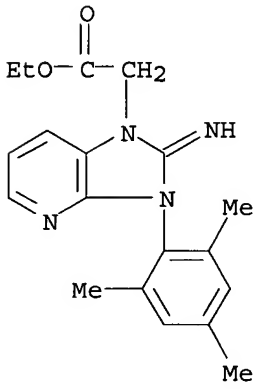
CN 3H-Imidazo[4,5-b]pyridin-2-amine, 3-(2,4,6-trimethylphenyl)- (9CI) (CA INDEX NAME)



RN 444325-92-2 HCAPLUS
CN 3H-Imidazo[4,5-b]pyridin-2-amine, 3-(2-chloro-4,6-dimethylphenyl)- (9CI) (CA INDEX NAME)

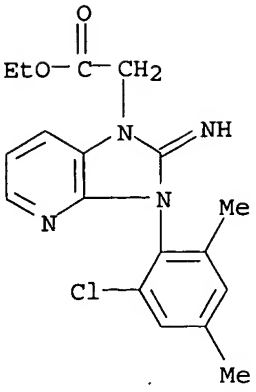


RN 444325-97-7 HCAPLUS
CN 1H-Imidazo[4,5-b]pyridine-1-acetic acid, 2,3-dihydro-2-imino-3-(2,4,6-trimethylphenyl)-, ethyl ester, monohydrobromide (9CI) (CA INDEX NAME)



● HBr

RN 444325-98-8 HCAPLUS
CN 1H-Imidazo[4,5-b]pyridine-1-acetic acid, 3-(2-chloro-4,6-dimethylphenyl)-2,3-dihydro-2-imino-, ethyl ester, monohydrobromide (9CI) (CA INDEX NAME)



● HBr

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 8 OF 15 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2002:314939 HCAPLUS
DOCUMENT NUMBER: 136:340677
TITLE: Preparation of imidazoarenes as antiinflammatory and analgesic agents.
INVENTOR(S): Nakao, Kazunari; Okumura, Yoshiyuki; Matsumizu, Miyako; Uneo, Naomi; Hashizume, Yoshinobu; Kato, Tomoki; Kawai, Akiyoshi; Miyake, Yoriko; Nukui, Seiji; Shinjyo, Katsuhiro; Taniguchi, Kana
PATENT ASSIGNEE(S): Pfizer Pharmaceuticals Inc., Japan; Pfizer Inc.
SOURCE: PCT Int. Appl., 461 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002032900	A2	20020425	WO 2001-IB1940	20011015
WO 2002032900	A3	20020808		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2426457	AA	20020425	CA 2001-2426457	20011015
US 2002077329	A1	20020620	US 2001-977761	20011015
US 2002107273	A1	20020808	US 2001-977621	20011015
US 6710054	B2	20040323		
EP 1326864	A2	20030716	EP 2001-978702	20011015
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,				

IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

EE 200300190	A	20031015	EE 2003-190		20011015
BR 2001014704	A	20040225	BR 2001-14704		20011015
JP 2004517054	T2	20040610	JP 2002-536282		20011015
NZ 525163	A	20050930	NZ 2001-525163		20011015
BG 107699	A	20031231	BG 2003-107699		20030403
NO 2003001582	A	20030617	NO 2003-1582		20030408
ZA 2003002722	A	20040408	ZA 2003-2722		20030408
ZA 2003002991	A	20040416	ZA 2003-2991		20030416
US 2004181059	A1	20040916	US 2004-771696		20040204
PRIORITY APPLN. INFO.:			US 2000-241825P	P	20001019
			US 2001-977621	A3	20011015
			WO 2001-IB1940	W	20011015

OTHER SOURCE(S): MARPAT 136:340677

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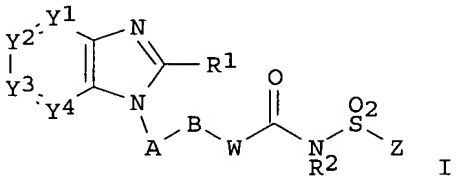


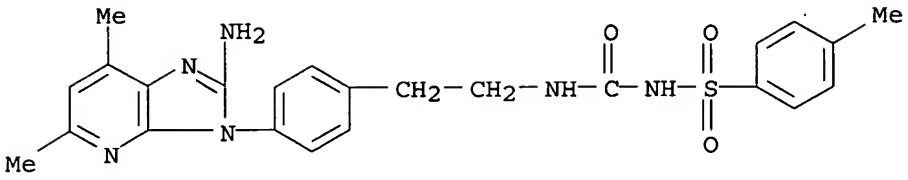
I

AB Title compds. [I; Y1-Y4 = N, CH, CL; R1 = H, (substituted) alkyl, alkenyl, alkynyl, cycloalkyl, alkoxy, pyrrolidinyl, amino, etc.; A = (substituted) 5-6 membered monocyclic aromatic ring optionally containing up to 3 heteroatoms selected from O, N, S, etc.; B = halo-substituted alkylene, cycloalklylene, alkenylene, alkynylene, alkyleneoxy, etc., optionally substituted with an oxo group; W = amino, O, S, bond, etc.; R2 = H, OH, alkyl, alkoxy; Z = 5-12 membered (substituted) monocyclic or bicyclic aryl optionally containing up to 3 heteroatoms selected from O, N and S, etc.; L = halo, alkyl, haloalkyl, OH, alkoxy, haloalkoxy, alkylthio, NO2, amino, etc.], were prepared as prostaglandin E2 receptor antagonists, preferably as EP4 receptor antagonists. Thus, to 2-[4-(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)phenyl]ethylamine (preparation given) in CH2Cl2 was added p-toluenesulfonyl isocyanate followed by stirring for 3 h to give 56% 2-ethyl-5,7-dimethyl-3-[4-[2-[[[[(4-methylphenyl)sulfonyl]amino]carbonyl]amino]ethyl]phenyl]-3H-imidazo[4,5-b]pyridine. Preferred I inhibited PGE2-induced thermal hyperalgesia in rats with ED50<60 mg/kg.

IT **415906-71-7P 415906-73-9P 415906-74-0P**
415906-75-1P 415906-76-2P 415906-77-3P
415906-78-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of imidazoarene prostaglandin EP4 receptor antagonists as antiinflammatory and analgesic agents)

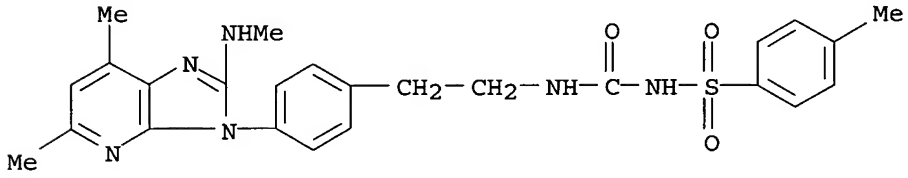
RN 415906-71-7 HCAPLUS

CN Benzenesulfonamide, N-[[[2-[4-(2-amino-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)phenyl]ethyl]amino]carbonyl]-4-methyl-, dihydrochloride (9CI) (CA INDEX NAME)

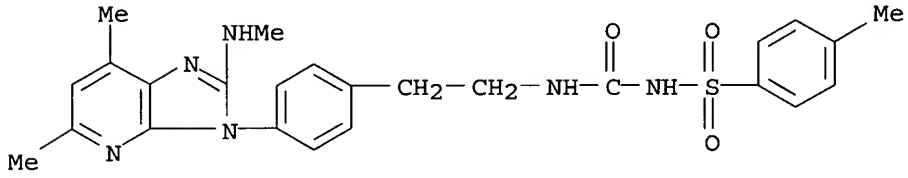


● 2 HCl

RN 415906-73-9 HCAPLUS
CN Benzenesulfonamide, N-[[[2-[4-[5,7-dimethyl-2-(methylamino)-3H-imidazo[4,5-b]pyridin-3-yl]phenyl]ethyl]amino]carbonyl]-4-methyl- (9CI) (CA INDEX NAME)

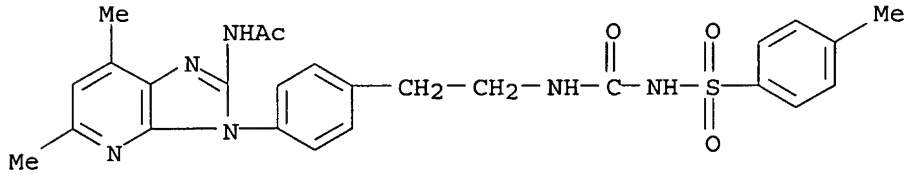


RN 415906-74-0 HCAPLUS
CN Benzenesulfonamide, N-[[[2-[4-[5,7-dimethyl-2-(methylamino)-3H-imidazo[4,5-b]pyridin-3-yl]phenyl]ethyl]amino]carbonyl]-4-methyl-, monohydrochloride (9CI) (CA INDEX NAME)



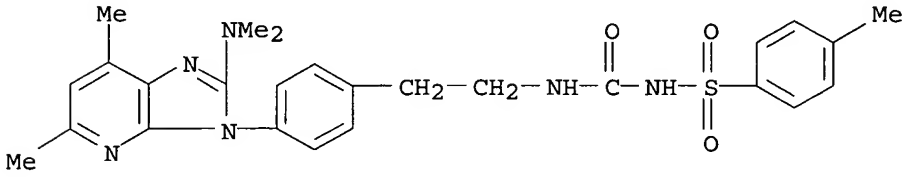
● HCl

RN 415906-75-1 HCAPLUS
CN Acetamide, N-[5,7-dimethyl-3-[4-[2-[[[[(4-methylphenyl)sulfonyl]amino]carbonyl]amino]ethyl]phenyl]-3H-imidazo[4,5-b]pyridin-2-yl]- (9CI) (CA INDEX NAME)

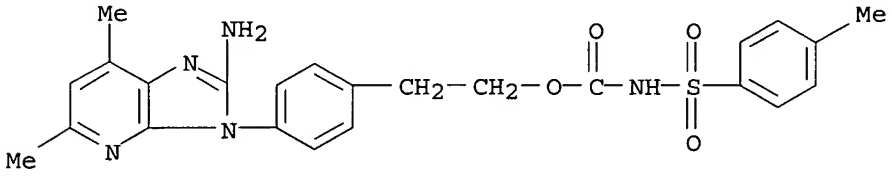


RN 415906-76-2 HCAPLUS

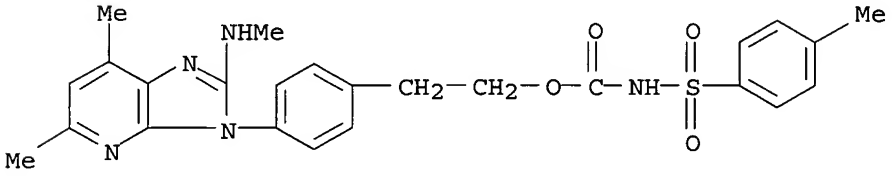
CN Benzenesulfonamide, N-[[[2-[4-[2-(dimethylamino)-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl]phenyl]ethyl]amino]carbonyl]-4-methyl- (9CI) (CA INDEX NAME)



RN 415906-77-3 HCAPLUS
CN Carbamic acid, [(4-methylphenyl)sulfonyl]-, 2-[4-(2-amino-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)phenyl]ethyl ester (9CI) (CA INDEX NAME)

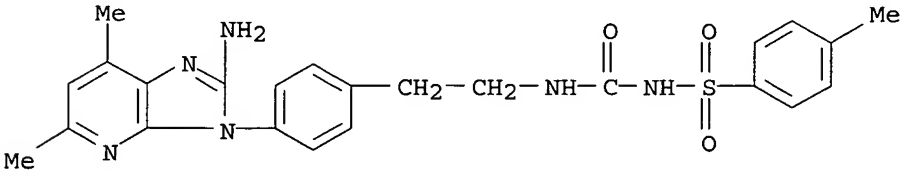


RN 415906-78-4 HCAPLUS
CN Carbamic acid, [(4-methylphenyl)sulfonyl]-, 2-[4-[5,7-dimethyl-2-(methylamino)-3H-imidazo[4,5-b]pyridin-3-yl]phenyl]ethyl ester (9CI) (CA INDEX NAME)



IT **415913-20-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of imidazoarene prostaglandin EP4 receptor antagonists as antiinflammatory and analgesic agents)

RN 415913-20-1 HCAPLUS
CN Benzenesulfonamide, N-[[[2-[4-(2-amino-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)phenyl]ethyl]amino]carbonyl]-4-methyl- (9CI) (CA INDEX NAME)

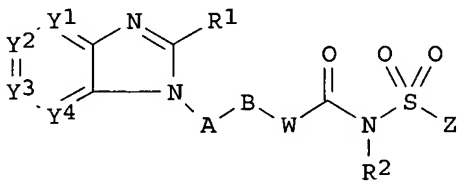


L12 ANSWER 9 OF 15 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2002:314767 HCAPLUS
DOCUMENT NUMBER: 136:340676
TITLE: Preparation of benzimidazole derivatives as prostaglandin EP4 receptor inhibitors to treat rheumatoid arthritis
INVENTOR(S): Audoly, Laurent; Okumura, Takako; Shimojo, Masato
PATENT ASSIGNEE(S): Pfizer Pharmaceuticals Inc., Japan; Pfizer Inc.
SOURCE: PCT Int. Appl., 468 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002032422	A2	20020425	WO 2001-IB1942	20011015
WO 2002032422	A3	20020725		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2426487	AA	20020425	CA 2001-2426487	20011015
US 2002077329	A1	20020620	US 2001-977761	20011015
US 2002107273	A1	20020808	US 2001-977621	20011015
US 6710054	B2	20040323		
BR 2001014758	A	20030701	BR 2001-14758	20011015
EP 1326606	A2	20030716	EP 2001-974609	20011015
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
EE 200300188	A	20031015	EE 2003-188	20011015
JP 2004511518	T2	20040415	JP 2002-535660	20011015
ZA 2003002722	A	20040408	ZA 2003-2722	20030408
NO 2003001658	A	20030610	NO 2003-1658	20030410
BG 107732	A	20040130	BG 2003-107732	20030416
ZA 2003002991	A	20040416	ZA 2003-2991	20030416
US 2004181059	A1	20040916	US 2004-771696	20040204

PRIORITY APPLN. INFO.:	US 2000-241825P	P	20001019
	US 2001-977621	A3	20011015
	WO 2001-IB1942	W	20011015

OTHER SOURCE(S): MARPAT 136:340676
GI



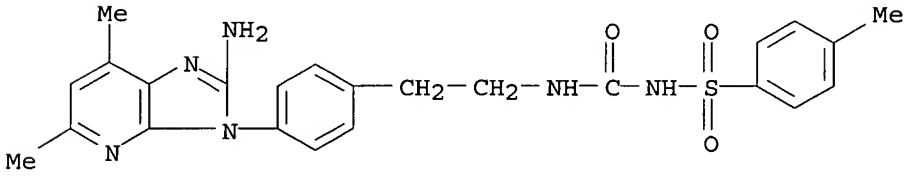
I

AB Benzimidazole derivs. I wherein Y1-Y4 are independently N, CH, alkyl, alkoxy, haloalkyl, halo, substituted alkyl, R1 is H, alkyl, alkenyl, alkynyl, cycloalkyl, alkoxy, haloalkoxy, heterocycle; R2 is H, alkyl, alkoxy, OH; A is substituted heterocycle arom ring; B is haloalkylene, cycloalkylene, alkenylene, alkynylene, oxyalkylene; W is NH, aminoalkyl, O, S, oxime, covalent bond; Z is monocyclic and bicyclic aromatic heterocycle, were prepared as prostaglandin EP4 receptor inhibitors to treat rheumatoid arthritis of rats and human. Also featured is a method of identifying agents that selectively inhibit EP4 activity in vivo. Thus, 3-(4-{2[({[(3,4-dichlorophenyl)sulfonyl]amino}carbonyl)amino]ethyl}phenyl)-2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridine, hydrochloride was prepared and tested in vivo as an agent selectively inhibiting EP4 activity or selectively binding EP4; and measuring joint inflammation, joint swelling, joint ankylosis, interleukin (IL)-6, SAA protein, and/or joint mobility.

IT **415906-71-7P 415906-73-9P 415906-74-0P**
415906-75-1P 415906-76-2P 415906-77-3P
415906-78-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of benzimidazole derivs. as prostaglandin ep receptor inhibitors to treat rheumatoid arthritis)

RN 415906-71-7 HCAPLUS

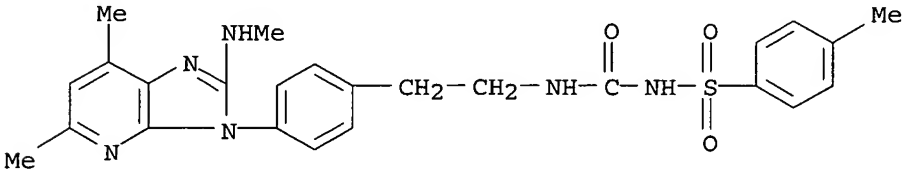
CN Benzenesulfonamide, N-[[[2-[4-(2-amino-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)phenyl]ethyl]amino]carbonyl]-4-methyl-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

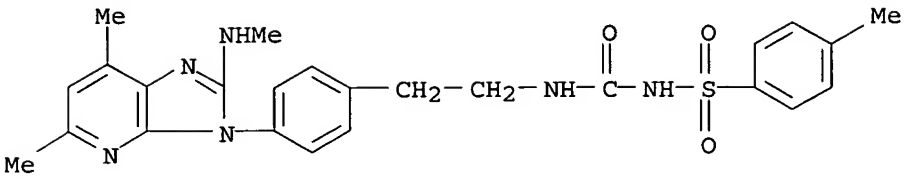
RN 415906-73-9 HCAPLUS

CN Benzenesulfonamide, N-[[[2-[4-[5,7-dimethyl-2-(methylamino)-3H-imidazo[4,5-b]pyridin-3-yl]phenyl]ethyl]amino]carbonyl]-4-methyl- (9CI) (CA INDEX NAME)



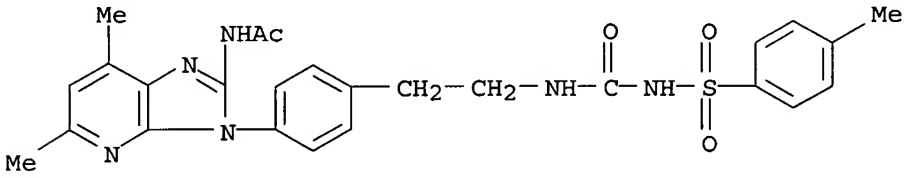
RN 415906-74-0 HCAPLUS

CN Benzenesulfonamide, N-[[[2-[4-[5,7-dimethyl-2-(methylamino)-3H-imidazo[4,5-b]pyridin-3-yl]phenyl]ethyl]amino]carbonyl]-4-methyl-, monohydrochloride (9CI) (CA INDEX NAME)

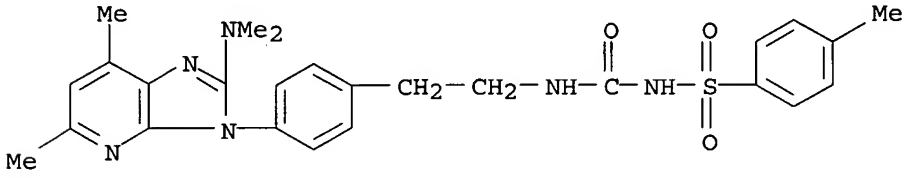


● HCl

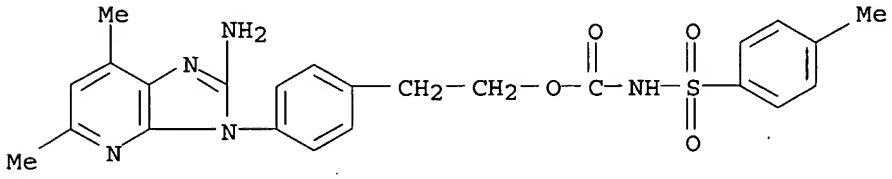
RN 415906-75-1 HCAPLUS
CN Acetamide, N-[5,7-dimethyl-3-[4-[2-[[[[(4-methylphenyl)sulfonyl]amino]carbonyl]amino]ethyl]phenyl]-3H-imidazo[4,5-b]pyridin-2-yl]- (9CI) (CA INDEX NAME)



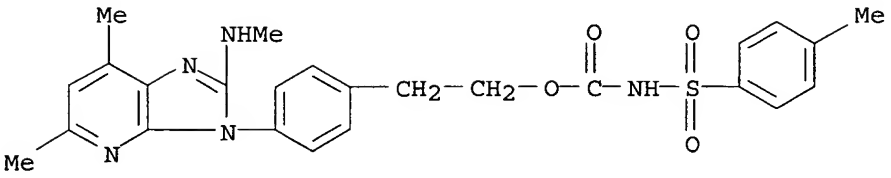
RN 415906-76-2 HCAPLUS
CN Benzenesulfonamide, N-[[[2-[4-[2-(dimethylamino)-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl]phenyl]ethyl]amino]carbonyl]-4-methyl- (9CI) (CA INDEX NAME)



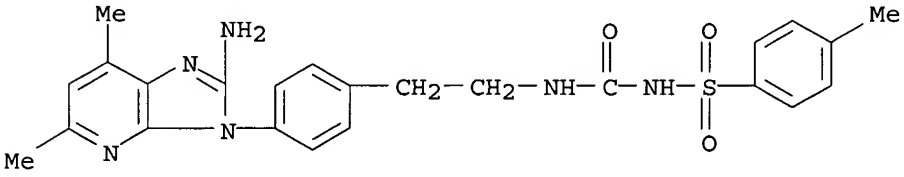
RN 415906-77-3 HCAPLUS
CN Carbamic acid, [(4-methylphenyl)sulfonyl]-, 2-[4-(2-amino-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)phenyl]ethyl ester (9CI) (CA INDEX NAME)



RN 415906-78-4 HCAPLUS
CN Carbamic acid, [(4-methylphenyl)sulfonyl]-, 2-[4-[5,7-dimethyl-2-(methylamino)-3H-imidazo[4,5-b]pyridin-3-yl]phenyl]ethyl ester (9CI) (CA INDEX NAME)



IT **415913-20-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of benzimidazole derivs. as prostaglandin ep receptor inhibitors to treat rheumatoid arthritis)
RN 415913-20-1 HCAPLUS
CN Benzenesulfonamide, N-[[[2-[4-(2-amino-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)phenyl]ethyl]amino]carbonyl]-4-methyl- (9CI) (CA INDEX NAME)



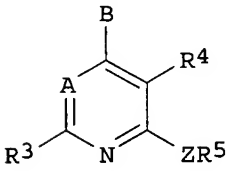
L12 ANSWER 10 OF 15 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2001:545665 HCAPLUS
DOCUMENT NUMBER: 135:137515
TITLE: Preparation of pyridines, pyrimidines, purinones, pyrrolopyrimidinones and pyrrolopyridinones as corticotropin releasing factor antagonists
INVENTOR(S): Chen, Yuhpyng Liang
PATENT ASSIGNEE(S): Pfizer Products Inc., USA
SOURCE: PCT Int. Appl., 130 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001053263	A1	20010726	WO 2001-IB4	20010105
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2397633	AA	20010726	CA 2001-2397633	20010105
BR 2001007662	A	20021119	BR 2001-7662	20010105

EP 1263732	A1	20021211	EP 2001-900209		20010105
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR					
JP 2003520272	T2	20030702	JP 2001-553267		20010105
EE 200200400	A	20031015	EE 2002-400		20010105
AU 779995	B2	20050224	AU 2001-23905		20010105
US 2002016328	A1	20020207	US 2001-761995		20010117
US 6833378	B2	20041221			
BG 106853	A	20030131	BG 2002-106853		20020620
ZA 2002005660	A	20031009	ZA 2002-5660		20020716
NO 2002003424	A	20020910	NO 2002-3424		20020717
PRIORITY APPLN. INFO.:			US 2000-176611P	P	20000118
			WO 2001-IB4	W	20010105

OTHER SOURCE(S): MARPAT 135:137515

GI



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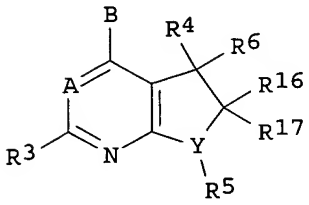
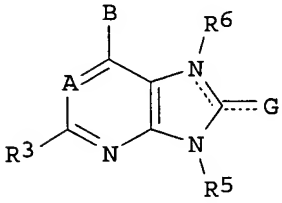


II



III

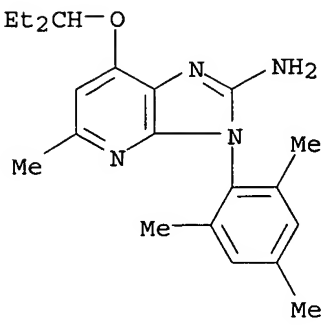
AB The title compds. [I-III; A = CR7, N; B = NR1R2, COR2, CHR1OR2, etc.; G = H, O, S, etc.; Y = CH, N; Z = NH, O, S, etc.; R1 = CHO, CO(alkyl), alkyl, etc.; R2 = H, alkyl, cycloalkyl, etc.; R3 = Me, Et, F, etc.; R4 = H, alkyl, cycloalkyl, etc.; R5 = (un)substituted (hetero)aryl; R6 = H, alkyl, cycloalkyl, etc.; R16, R17 = H, OH, Me, etc.], useful in the treatment disorders including CNS and stress-related disorders, were prepared Thus, reacting N-4-(1-ethylpropyl)-6-methyl-2-(2,4,6-trimethylphenoxy)pyridine-3,4-diamine with chloroacetyl chloride in the presence of Et3N in THF afforded 91% I [A = CH; B = NHCHEt2; R3 = Me; R4 = NHCOCH2Cl; Z = O; R5 = 2,4,6-Me3C6H2]. The CRF binding activities for compds. I-III, expressed as IC50 values, generally range from about 0.5 nM to 10 μM.

IT **351380-90-0P 351380-94-4P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyridines, pyrimidines, purinones, pyrrolopyrimidinones and pyrrolopyridinones as corticotropin releasing factor antagonists)

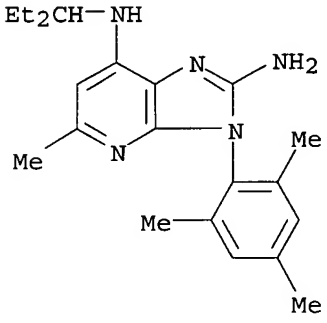
RN 351380-90-0 HCAPLUS

CN 3H-Imidazo[4,5-b]pyridin-2-amine, 7-(1-ethylpropoxy)-5-methyl-3-(2,4,6-

trimethylphenyl)- (9CI) (CA INDEX NAME)



RN 351380-94-4 HCAPLUS
CN 3H-Imidazo[4,5-b]pyridine-2,7-diamine, N7-(1-ethylpropyl)-5-methyl-3-(2,4,6-trimethylphenyl)- (9CI) (CA INDEX NAME)



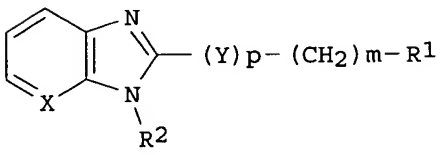
REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 11 OF 15 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1999:723021 HCAPLUS
DOCUMENT NUMBER: 131:337022
TITLE: Preparation of condensed imidazole derivative as therapeutic agents for liver disease
INVENTOR(S): Nagasawa, Masaaki; Nishioka, Hiroyasu; Suzuki, Takanori; Segawa, Yoshihide; Tsuzuike, Naoki
PATENT ASSIGNEE(S): Nippon Chemiphar Co., Ltd., Japan; Zeria Pharmaceutical Co., Ltd.
SOURCE: PCT Int. Appl., 126 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

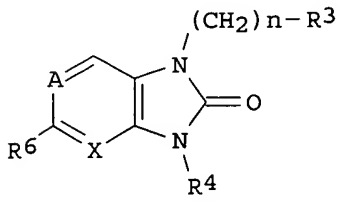
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9957103	A1	19991111	WO 1999-JP2309	19990430

W: AE, AL, AU, BA, BB, BG, BR, CA, CN, CU, CZ, EE, GD, GE, HR, HU, ID, IL, IN, IS, JP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, SL, TR, TT, UA, US, UZ, VN, YU, ZA, AM,

AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
PRIORITY APPLN. INFO.: JP 1998-136045 A 19980430
OTHER SOURCE(S): MARPAT 131:337022
GI



I

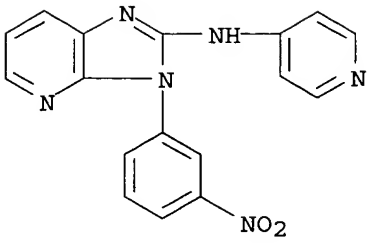


II

AB Title compds. I and II (X, Z = N, CH; A = N, CR5; Y = O, S, SO, SO2, NH; p = 0, 1; m = 0, 1, 2; n = 1, 2; R1 = Ph, pyridyl, etc; R2, R4 = Ph, pyridyl, substituted Ph, etc.; and R5, R6 = H; R5R6 = an atom group forming an aromatic ring together with the carbon atoms to which they are attached) and their pharmaceutically acceptable salts, useful as a therapeutic agents for liver diseases with no serious adverse effect, are prepared Thus, refluxing 2-(3-nitrophenylamino)nicotinic acid with diphenylphosphoryl azide in toluene in the presence of Et3N gave 3-(3-nitrophenyl)-1,3-dihydroimidazo[4,5-b]pyridine, refluxing of which with PCl5 and POCl3 gave, after treatment with 3-hydroxypyridine and NaH in DMF, 3-(3-nitrophenyl)-2-(3-pyridyl)oxy-3H-imidaz[4,5-b]pyridine. 1-(4-Pyridyl)methyl-3-(3-nitrophenyl)-1,3-dihydroimidazo[4,5-b]pyridine administered 30 mg/kg orally to BALB/C mice prior to i.v. administration of Con-A inhibited the Con-A induced liver damage as reflected by blood GPT levels.

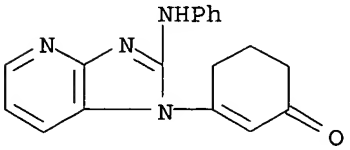
IT **249605-30-9P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of condensed imidazole derivs. as therapeutic agents for liver disease)

RN 249605-30-9 HCAPLUS
CN 3H-Imidazo[4,5-b]pyridin-2-amine, 3-(3-nitrophenyl)-N-4-pyridinyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 12 OF 15 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1999:306435 HCAPLUS
DOCUMENT NUMBER: 131:58803
TITLE: Reactivity of heterocyclic enaminones: regioselective synthesis of some pyridobenzodiazepines and imidazopyridines
AUTHOR(S): Blache, Yves; Hichour, Mohammed; Di Blasi, Genoveffa; Chezal, Jean-Michel; Viols, Henri; Chavignon, Olivier; Teulade, Jean-Claude; Chapat, Jean-Pierre
CORPORATE SOURCE: Laboratoire de Chimie Organique Pharmaceutique, E.A 2414 Pharmacochimie et Biomolecules, Montpellier, 34060, Fr.
SOURCE: Heterocycles (1999), 51(5), 1003-1014
CODEN: HTCYAM; ISSN: 0385-5414
PUBLISHER: Japan Institute of Heterocyclic Chemistry
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Reactivity of enaminones derived from various diaminopyridines toward electrophilic carbons (imines, carbodiimides, isocyanates) is reported. The reactions leading to diazepinic or imidazolic ring systems are shown to be dependent of the electrophilic species as well as of the position of the nitrogen atom in the heterocyclic ring.
IT **227943-72-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 227943-72-8 HCAPLUS
CN 2-Cyclohexen-1-one, 3-[2-(phenylamino)-1H-imidazo[4,5-b]pyridin-1-yl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 13 OF 15 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1995:752271 HCAPLUS
DOCUMENT NUMBER: 123:339888
TITLE: N-(1-Phenyl-2-benzimidazolyl)-N'-phenylurea derivatives as potent inhibitors of

acyl-CoA:cholesterol acyltransferase (ACAT)

AUTHOR(S): Kumazawa, Toshiaki; Harakawa, Hiroyuki; Fukui, Hiromi; Shirakura, Shiro; Ohishi, Eiko; Yamada, Koji

CORPORATE SOURCE: Pharm. Res. Lab., Kyowa Hakko Kogyo Co., Ltd., Shizuoka, 411, Japan

SOURCE: Bioorganic & Medicinal Chemistry Letters (1995), 5(16), 1829-32
CODEN: BMCLE8; ISSN: 0960-894X

PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English

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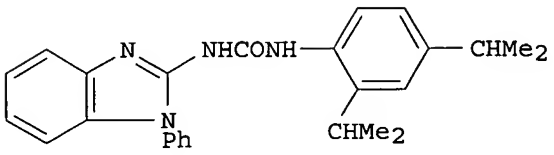

I

AB N-(1-phenyl-2-benzimidazolyl)-N'-phenylurea derivs., e.g., I, were prepared as ACAT inhibitors. These compds. showed potent ACAT inhibitory activity in vitro (liver microsomes from cholesterol-fed rabbits) and hypocholesterolemic activity in vivo (cholesterol-fed golden hamsters).

IT **170752-05-3P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of (phenylbenzimidazolyl)phenylureas as potent inhibitors of ACAT)

RN 170752-05-3 HCAPLUS

CN Urea, N-[2,6-bis(1-methylethyl)phenyl]-N'-[3-(2-chlorophenyl)-3H-imidazo[4,5-b]pyridin-2-yl]-, monomethanesulfonate (9CI) (CA INDEX NAME)

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CRN 168120-32-9
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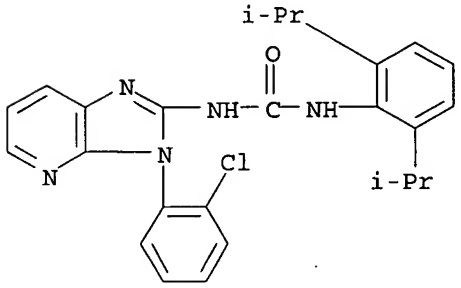
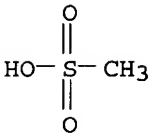


CM 2

CRN 75-75-2

CMF C H4 O3 S



L12 ANSWER 14 OF 15 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1995:709095 HCAPLUS
DOCUMENT NUMBER: 123:218414
TITLE: Imidazoles and antiarteriosclerotics containing the imidazoles
INVENTOR(S): Kumazawa, Toshiaki; Harakawa, Hiroyuki; Fukui, Hiromi; Shirokura, Shiro; Ooishi, Eiko; Yamada, Koji
PATENT ASSIGNEE(S): Kyowa Hakko Kogyo Kk, Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 13 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 07133224	A2	19950523	JP 1993-280961	19931110
PRIORITY APPLN. INFO.:			JP 1993-280961	19931110
OTHER SOURCE(S):	MARPAT 123:218414			

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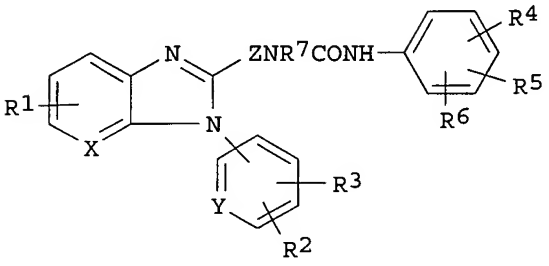


I

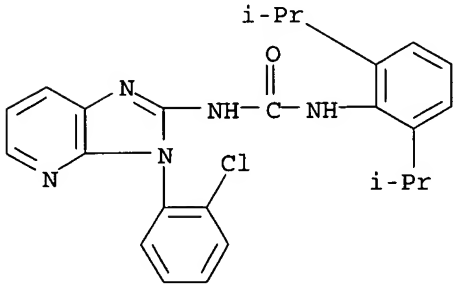
AB Antiarteriosclerotics contain imidazoles I [X, Y = CH, N; Z = single bond, CH2; R1, R2, R3 = H, halo, lower alkyl, OH, lower alkoxy, carboxy, lower alkoxycarbonyl, NH2, mono- or di-lower alkyl-substituted amino, carbamoyl, mono- or di-lower alkyl-substituted carbamoyl, CF3; R4, R5, R6 = H, halo, lower alkyl, lower alkoxy; R7 = H, lower alkyl, lower alkyl-(un)substituted cycloalkyl] or their pharmacol. acceptable salts as active ingredients. N-[1-(2-chlorophenyl)-2-benzimidazolyl]-N'-(2,6-diisopropylphenyl)urea (II) (2.3 g) was prepared by treatment of 1.5 g 2-amino-1-(2-chlorophenyl)benzimidazole and 1.46 mL 2,6-diisopropylphenyl isocyanate. II (at 10-7M) inhibited acyl CoA:cholesterol acyltransferase by 85%. II showed min. LD of >100 mg/kg i.p. in mice. A formulation example of tablets is given.

IT **168120-32-9P**

RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(antiarteriosclerotics containing imidazoles)

RN 168120-32-9 HCAPLUS

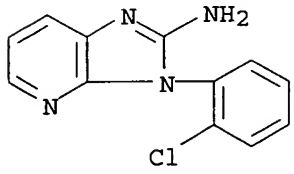
CN Urea, N-[2,6-bis(1-methylethyl)phenyl]-N'-[3-(2-chlorophenyl)-3H-imidazo[4,5-b]pyridin-2-yl]- (9CI) (CA INDEX NAME)



IT **168120-56-7**
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction in preparation of imidazoles as antiarteriosclerotics)

RN 168120-56-7 HCAPLUS

CN 3H-Imidazo[4,5-b]pyridin-2-amine, 3-(2-chlorophenyl)- (9CI) (CA INDEX NAME)



L12 ANSWER 15 OF 15 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1986:68856 HCAPLUS

DOCUMENT NUMBER: 104:68856

TITLE: Bicyclic heterocyclyl containing N-(bicyclic heterocyclyl)-4-piperidinamines

INVENTOR(S): Janssens, Frans Eduard; Torremans, Joseph Leo Ghislanus; Hens, Jozef Francis; Van Offenwert, Theophilus Theresia J. M.

PATENT ASSIGNEE(S): Janssen Pharmaceutica N. V., Belg.

SOURCE: Eur. Pat. Appl., 106 pp.
CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 144101	A2	19850612	EP 1984-201611	19841107
EP 144101	A3	19850724		

EP 144101	B1	19910206			
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US 4695569	A	19870922	US 1984-660608		19841012
AT 60769	E	19910215	AT 1984-201611		19841107
SU 1500162	A3	19890807	SU 1984-3814401		19841123
CA 1257258	A1	19890711	CA 1984-468587		19841126
CZ 281114	B6	19960612	CZ 1984-9128		19841128
SK 278443	B6	19970507	SK 1984-9128		19841128
DK 8405678	A	19850531	DK 1984-5678		19841129
FI 8404708	A	19850531	FI 1984-4708		19841129
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FI 80446	C	19900611			
NO 8404755	A	19850531	NO 1984-4755		19841129
NO 164171	B	19900528			
NO 164171	C	19900905			
AU 8436028	A1	19850606	AU 1984-36028		19841129
AU 579121	B2	19881117			
JP 60149583	A2	19850807	JP 1984-250660		19841129
JP 06092389	B4	19941116			
ZA 8409331	A	19860730	ZA 1984-9331		19841129
IL 73686	A1	19880531	IL 1984-73686		19841129
PL 146377	B1	19890131	PL 1984-250633		19841129
HU 35677	O	19850729	HU 1984-4444		19841130
HU 199837	B	19900328			
RO 90414	B3	19861210	RO 1984-116474		19841130
US 4888426	A	19891219	US 1987-56200		19870601
SU 1694064	A3	19911123	SU 1987-4203318		19870917
CA 1330081	A1	19940607	CA 1988-564954		19880422
FI 8804037	A	19880901	FI 1988-4037		19880901
FI 84070	B	19910628			
FI 84070	C	19911010			
US 5025014	A	19910618	US 1989-447312		19891207
US 5126339	A	19920630	US 1991-671338		19910319
PRIORITY APPLN. INFO.:			US 1983-556742	A	19831130
			US 1984-660608	A	19841012
			EP 1984-201611	A	19841107
			CA 1984-468587	A3	19841126
			FI 1984-4708	A	19841129
			US 1987-56200	A3	19870601
			US 1989-447312	A3	19891207

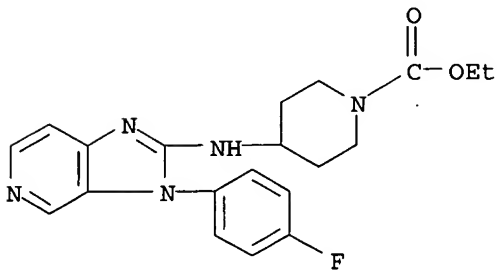
OTHER SOURCE(S): CASREACT 104:68856

GI For diagram(s), see printed CA Issue.

AB The title compds. [I; R = H, cycloalkyl, pyridinyl, pyrazinyl, alkyl-(un)substituted furanyl, thiazolyl, imidazolyl, halo-(un)substituted thienyl, (un)substituted alkyl, Ph; R1 = H, alkyl, cycloalkyl, alkanoyl, alkoxycarbonyl, (un)substituted phenylalkyl; R2 = H, alkyl; R3 = alkyl, pyrrolidinyl, piperidinyl, homopiperonyl, each substituted by a group containing a bicyclic heterocyclic moiety; X = atoms required to complete an (un)substituted C6H6 or pyridine ring] (>150 in all) were prepared Thus, 1-[(4-fluorophenyl)methyl]-N-(4-piperidinyl)-1H-benzimidazol-2-amine was alkylated by heating at 70° with 6-(2-bromoethyl)-3,7-dimethyl-5H-thiazolo[3,2-a]pyrimidin-5-one-HBr in DMF containing Na2CO3 to give 62.8% II. II had antihistaminic activity in rats, counteracting the lethality of compound 48/80 with an ED50 of 0.31 mg/kg s.c. or orally, and inhibiting gastric lesions caused by the same agent with an ED50 of 0.63 mg/kg orally.

IT **99158-22-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and decarboxylation of)
RN 99158-22-2 HCAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[3-(4-fluorophenyl)-3H-imidazo[4,5-c]pyridin-2-yl]amino]-, ethyl ester (9CI) (CA INDEX NAME)



=> fil beilst
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FILE LAST UPDATED ON OCTOBER 10, 2005

FILE COVERS 1771 TO 2005.
*** FILE CONTAINS 9,363,954 SUBSTANCES ***

>>>PLEASE NOTE: Reaction Data and substance data are stored in separate documents and can not be searched together in one query. Reaction data for BEILSTEIN compounds may be displayed immediately with the display codes PRE (preparations) and REA (reactions). A substance answer set retrieved after the search for a chemical name, a compounds with available reaction information by combining with PRE/FA, REA/FA or more generally with RX/FA. The BEILSTEIN Registry Number (BRN) is the link between a BEILSTEIN compound and belonging reactions. For mo detailed reaction searches BRNs can be searched as reaction partner BRNs Reactant BRN (RX.RBRN) or Product BRN (RX.PBRN).<<<

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* ARE BASED ON THE HIGHEST PRICE CATEGORY. THEREFORE; THESE          *
* ESTIMATES MAY NOT REFLECT THE ACTUAL COSTS.                        *
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NEW
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For an explanation, enter "HELP DISPLAY QUERY".

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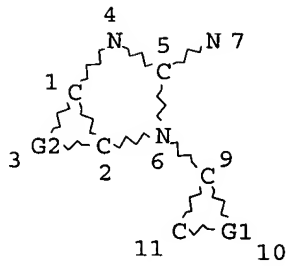

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L13 ANSWER 1 OF 1 BEILSTEIN COPYRIGHT 2005 BEILSTEIN MDL on STN

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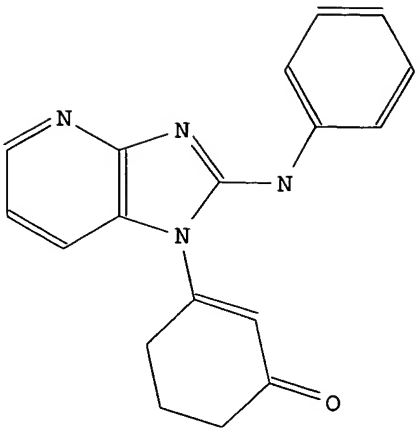
Autonom Name (AUN):	3-(2-phenylamino-imidazo<4,5-b>pyridin-1-yl)-cyclohex-2-enone
Molec. Formula (MF):	C18 H16 N4 O
Molecular Weight (MW):	304.35
Lawson Number (LN):	30301, 15452, 14131
Compound Type (CTYPE):	heterocyclic
Constitution ID (CONSID):	7028405
Tautomer ID (TAUTID):	7813192
Entry Date (DED):	2000/03/03
Update Date (DUPD):	2000/03/03



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TAUTID	Tautomer ID	1
DED	Entry Date	1
DUPD	Update Date	1
MP	Melting Point	1
MS	Mass Spectrum	1
NMR	Nuclear Magnetic Resonance	2

This substance also occurs in Reaction Documents:

Code	Name	Occurrence
RX	Reaction Documents	1
RXPRO	Substance is Reaction Product	1

All References:
ALLREF

1. Blache, Yves; Hichour, Mohammed; Blasi, Genoveffa Di; Chezal, Jean-Michel; Viols, Henri; et al., Heterocycles, CODEN: HTCYAM, 51(5), <1999>, 1003 - 1014; BABS-6166556

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FILE CONTENT: 1988-PRESENT (VOL 143 ISS 18)(20051113/ED)
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MOST RECENT CITATIONS FOR PATENTS FROM FIVE MAJOR ISSUING AGENCIES
(COVERAGE TO THESE DATES IS NOT COMPLETE):

US 6924313 02 AUG 2005
DE 1020040544 04 AUG 2005
EP 1568694 31 AUG 2005
JP 2005213127 11 AUG 2005
WO 2005090358 29 SEP 2005

Expanded G-group definition display now available.

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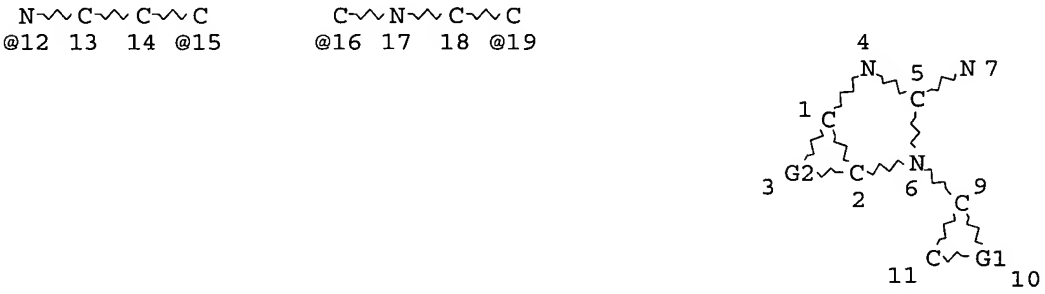

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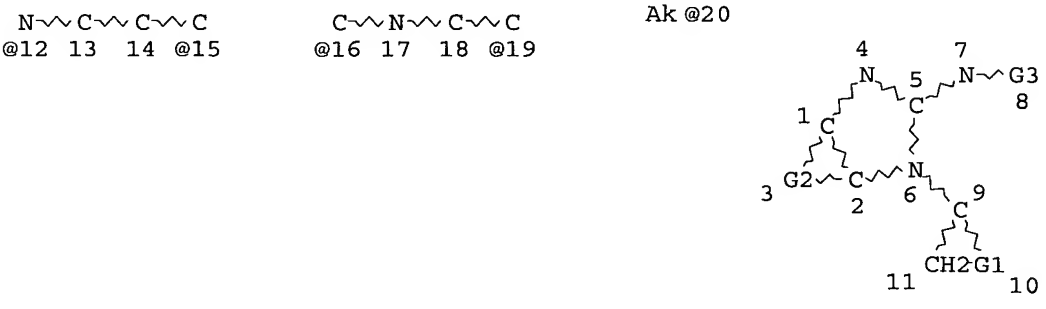

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STEREO ATTRIBUTES: NONE
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L20 10 SEA FILE=MARPAT ABB=ON PLU=ON L19 NOT L12

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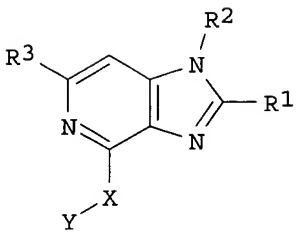
L20 ANSWER 1 OF 10 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 142:336357 MARPAT
TITLE: Preparation of imidazo[4,5-c]pyridines for the treatment of gastrointestinal disorders
INVENTOR(S): Buhr, Wilm; Zimmermann, Peter Jan; Brehm, Christof; Palmer, Andreas; Simon, Wolfgang-Alexander; Postius, Stefan; Kromer, Wolfgang; Chiesa, M. Vittoria
PATENT ASSIGNEE(S): Altana Pharma AG, Germany
SOURCE: PCT Int. Appl., 67 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005026164	A1	20050324	WO 2004-EP52229	20040917

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: EP 2003-21087 20030918
GI



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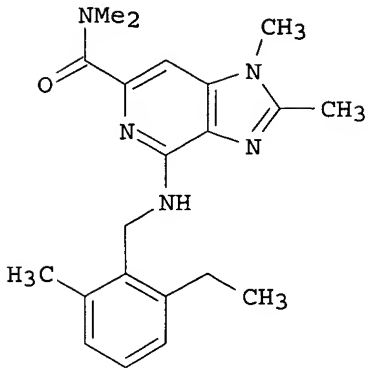
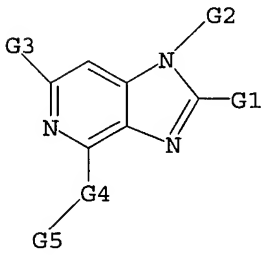


II

AB Title compds. I [wherein R1 = H, halo, alk(en/yn)l, cycloalkyl, alkoxy(carbonyl) or (mono/di)alkylamino; R2 = alkyl, aryl, cycloalkyl or alkoxy(carbonyl); R3 = H, halo, alkyl, carboxy, alkoxy(carbonyl) or amido; X = O or NH; Y = Me substituted by aromatic residue; and salts thereof], which have gastric secretion inhibiting and excellent gastric and intestinal protective action properties, were prepared For example, II was synthesized and showed >30% inhibition of pentagastrin-stimulated acid secretion on the perfused rat stomach at a dose of 1.0 μmol/kg. Therefore, I are useful for the treatment of gastrointestinal disorders.

MSTR 1



G1 = alkylamino <containing 1-4 C>
G2 = cyclopropyl
Patent location: claim 1
Note: or salts

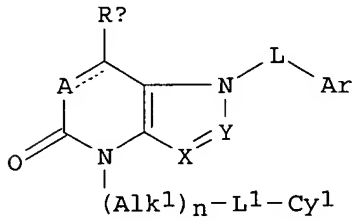
REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 2 OF 10 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 140:321242 MARPAT
TITLE: Preparation of pyrrolo[3,2-b]pyridines as p38 kinase inhibitors
INVENTOR(S): Brookings, Daniel Christopher; Cubbon, Rachel Jane; Davis, Jeremy Martin; Langham, Barry John
PATENT ASSIGNEE(S): Celltech R & D Limited, UK
SOURCE: PCT Int. Appl., 81 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004031188	A1	20040415	WO 2003-GB4214	20030930
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
CA 2500844	AA	20040415	CA 2003-2500844	20030930
EP 1549648	A1	20050706	EP 2003-753708	20030930
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK			
PRIORITY APPLN. INFO.:			GB 2002-22743	20021001
			WO 2003-GB4214	20030930

GI



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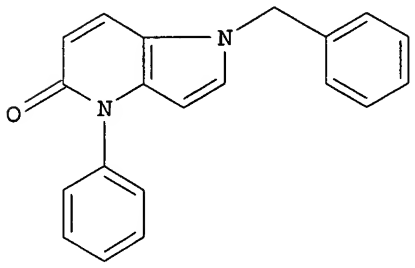
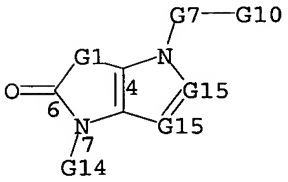


II

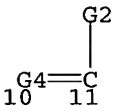
AB Title compds. I [A = (un)substituted N, C; Ra = H, halo, etc.; X, Y = N or (un)substituted C; L = C(O), C(S), (un)substituted C; n = 0-1; Alk1 = (unsubstituted)(hetero)aliphatic chain; L1 = bond, linker atom/group; Cy1 = (un)substituted cycloaliph., etc.; Ar = (hetero)aromatic, etc. with specific exceptions] are prepared For instance, 1-Benzenesulfonyl-4-phenyl-1,4-dihydro-5H-pyrrolo[3,2-b]pyridin-5-one (preparation given) is treated with NaOH

(2M, 2 h) and the resulting product alkylated with benzyl chloride (THF, NaH) to give II. Example compds. have IC50 values of around 2 pM and below for p38 kinase and are useful for the treatment of immune or inflammatory disorders.

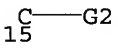
MSTR 1



G1 = 10-6 11-4

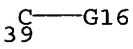


G4 = 15

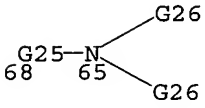


G7 = 32

G15 = N / 39



G16 = 68



Patent location: claim 1
Note: substitution is restricted
Note: and salts, solvates, hydrates and N-oxides

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 3 OF 10 MARPAT COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 140:199331 MARPAT
TITLE: Preparation of five-membered heterocyclic compounds as mGluR5 receptor antagonists
INVENTOR(S): Wensbo, David; Xin, Tao; Stefanac, Tomislav; Arora, Jalaj; Edwards, Louise; Isaac, Methvin; Slassi, Abdelmalik; Stormann, Thomas M.; McLeod, Donald A.; Kers, Annika; Malmberg, Johan; Oscarsson, Karin; Gyback, Helena; Johansson, Martin; Minidis, Alexander; Waldman, Mangus; Yngve, Ulrika; Osterwall, Christoffer
PATENT ASSIGNEE(S): Astra Zeneca Ab, Swed.; NPS Pharmaceuticals, Inc.
SOURCE: PCT Int. Appl., 318 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004014881	A2	20040219	WO 2003-US24846	20030808
WO 2004014881	A3	20040527		
WO 2004014881	B1	20040715		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2494987	AA	20040219	CA 2003-2494987	20030808
US 2004152699	A1	20040805	US 2003-637012	20030808
EP 1529045	A2	20050511	EP 2003-785036	20030808
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003013265	A	20050705	BR 2003-13265	20030808
PRIORITY APPLN. INFO.:			US 2002-402040P	20020809
			WO 2003-US24846	20030808

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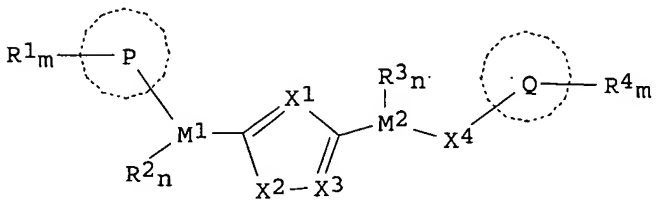

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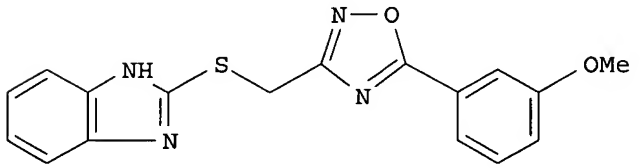
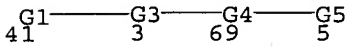


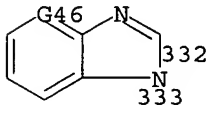
II

AB The present invention relates to five-membered heterocyclic compds. (shown as I; variables defined below; e.g. II), a process for their preparation and new intermediates prepared therein, pharmaceutical formulations containing said compds. and to the use of said compds. in therapy, e.g. neurol., psychiatric and chronic and acute pain disorders (no data). Typical IC50 values for mGluR5 receptor antagonist activity are ≤10 μM; no values for individual compds. are given. Methods of preparation are claimed and example prepns. and/or characterization data are included for .apprx.800 examples of I and intermediates. For example, [3-[3-[[[4-methyl-5-(thiophen-2-yl)-4H-[1,2,4]triazol-3-yl]sulfanyl]methyl][1,2,4]oxadiazol-5-yl]phenyl]carbamic acid tert-Bu ester was prepared in 79% yield by condensation of 4-methyl-5-(thiophen-2-yl)-4H-[1,2,4]triazole-3-thiol with [3-(3-chloromethyl-[1,2,4]oxadiazol-5-yl)phenyl]carbamic acid tert-Bu ester in MeCN in the presence of K2CO3. For I: P = H, C3-7alkyl or a 3- to 8-membered ring containing ≥1 atoms = C, N, O and S, which ring may optionally be fused with a 5- or 6-membered ring containing ≥1 C, N, O and S; R1 = H, hydroxy, halo, nitro, C1-6-alkylhalo, OC1-6alkylhalo, C1-6alkyl, OC1-6alkyl, C2-6alkenyl, OC2-6alkenyl, C2-6alkynyl, OC2-6alkynyl, C0-6alkylC3-6cycloalkyl, etc. and a 5- or 6-membered ring containing ≥1 C, N, O and S, wherein said ring may be substituted by ≥1 A. M1 = a bond, C1-3alkyl, C2-3alkenyl, C2-3alkynyl, C0-4alkyl(CO)C0-4alkyl, C0-3alkylOC0-3alkyl, C0-3alkyl(CO)NR5, C0-3alkyl(CO)NR5C0-3alkyl, C0-4-alkylNR5, C0-3alkylSC0-3alkyl, etc.; R2 = H, hydroxy, C0-6alkylcyano, oxo, NR5, NOR5, C1-4alkylhalo, halo, C1-4alkyl, etc. X1, X2 and X3 = CR, CO, N, NR, O and S; R = H, C0-3alkyl, halo, C0-3alkylOR5, C0-3-alkylNR5R6, C0-3alkyl(CO)OR5, C0-3alkylNR5R6 and C0-3alkylaryl; M2 = a bond, C1-3alkyl, C3-7cycloalkyl, C2-3alkenyl, C2-3alkynyl, C0-4alkyl(CO)C0-4alkyl, C0-3alkylOC0-3alkyl, etc.; R3 = H, hydroxy, C0-6alkylcyano, oxo, NR, NOR5, C1-4alkylhalo, halo, C1-4alkyl, etc. X4 = C0-4alkylR5, C0-4alkyl(NR5R6), C0-4-alkyl(NR5R6):N, NR5C0-4alkyl(NR5R6):N, NOC0-4alkyl, C1-4alkylhalo, C, O, SO, SO2 and S; Q is a 5- or 6-membered ring containing ≥1 C, N, O and S, which group may optionally be fused with a 5- or 6-membered ring containing ≥1 C, N, O and S and which fused ring may be substituted by ≥1 A. R4 = H, hydroxy, C0-6alkylcyano, oxo, NR5, NOR5, C1-4alkylhalo, halo, C1-4alkyl, OC1-4alkyl, OC0-6alkylaryl, etc. and a 5- or 6-membered ring containing ≥1 atoms = C, N, O or S, wherein said ring may be substituted by ≥1 A; R5, R6 = H, OH, C1-6alkyl, etc.; A = H, OH, O, halo, nitro, C0-6alkylcyano, etc.; m = 0-4; and n = 0-3; addnl. details are given in the claims.

MSTR 1A



G4 = NH
G9 = 332-69 333-288



G10 = cyclopentyl

G46 = N
Patent location: claim 1
Note: also incorporates claims 2 and 28
Note: or salts

L20 ANSWER 4 OF 10 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 140:94047 MARPAT
TITLE: Preparation of imidazopyridines as viral inhibitors
INVENTOR(S): Neyts, Johan; Puerstinger, Gerhard; De Clercq, Erik
PATENT ASSIGNEE(S): K.U.Leuven Research & Development, Belg.; Gilead Sciences, Inc.
SOURCE: PCT Int. Appl., 149 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004005286	A2	20040115	WO 2003-BE117	20030703
WO 2004005286	A3	20040318		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2491243	AA	20040115	CA 2003-2491243	20030703
EP 1521754	A2	20050413	EP 2003-762361	20030703
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003012547	A	20050426	BR 2003-12547	20030703
US 2005239821	A1	20051027	US 2004-519756	20041230
PRIORITY APPLN. INFO.:			GB 2002-15293	20020703
			GB 2003-13251	20030610
			WO 2003-BE117	20030703

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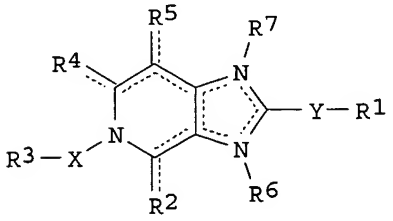
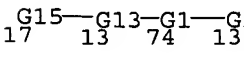


I

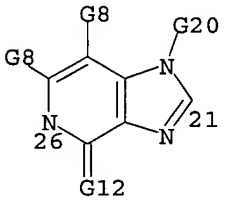
AB The present invention relates to a pharmaceutical composition for the treatment or prevention of viral infections comprising as an active principle at least one imidazo[4,5-c]pyridine I [R1 = H, (un)substituted aryl,

heterocyclyl, cycloalkyl, cycloalkenyl; Y = a bond, O, SOm, (un)substituted NH, etc.; R2, R4 = H, alkyl, alkenyl, alkoxy, halo, etc.; X = divalent (un)saturated (un)substituted hydrocarbon group optionally including one or more heteroatoms; m = 0-2; R3 = (un)substituted aryl, aryloxy, arylthio, etc.; R5 = H, alkyl, alkoxy, etc.; R6, R7 = H, alkyl, cycloalkyl, Ph, etc.]. The invention also relates to processes for the preparation of compds. I and their use as a medicine or to treat or prevent viral infections. Thus, treating 2-(2,6-difluorophenyl)-1(3)H-imidazo[4,5-c]pyridine (preparation given) with 50% NaOH in DMF followed by addition of 2,6-difluorobenzyl bromide afforded 65% 2-(2,6-difluorophenyl)-5-[(2,6-difluorophenyl)methyl]-5H-imidazo[4,5-c]pyridine. The compds. I were tested for their anti-BVDV, anti-HCV, and anti-coxsackie activity (data given).

MSTR 1



G1 = 26-13 21-132



G3 = NH
G20 = cyclopentyl
Patent location: claim 1
Note: or pharmaceutically acceptable salts

L20 ANSWER 5 OF 10 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 138:321276 MARPAT
TITLE: Preparation of imidazoles for treating inflammatory and immune-related disorders associated with IL-1 receptor associated kinase or the transcription factor NF-κB
INVENTOR(S): Frenkel, Alexander David; Lively, Sarah Elizabeth; Powers, Jay P.; Smith, Andrew; Sun, Daqing; Tomooka, Craig; Wang, Zhulun
PATENT ASSIGNEE(S): Tularik Inc., USA
SOURCE: PCT Int. Appl., 113 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003030902	A1	20030417	WO 2002-US32437	20021009

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,

CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

CA 2458533	AA	20030417	CA 2002-2458533	20021009
US 2003144286	A1	20030731	US 2002-268412	20021009
EP 1434579	A1	20040707	EP 2002-769042	20021009
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
JP 2005532251	T2	20051027	JP 2003-533934	20021009
PRIORITY APPLN. INFO.:			US 2001-327818P	20011009
			WO 2002-US32437	20021009

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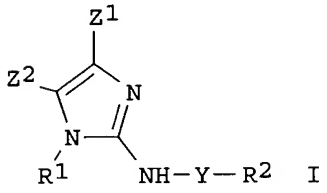
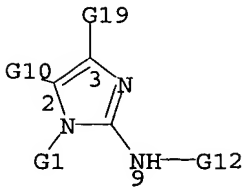


I

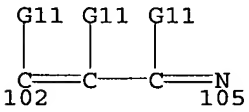
AB Imidazoles (shown as I; variables defined below; e.g. 3-nitro-N-(1H-benzimidazol-2-yl)benzamide) and pharmaceutical compns. thereof are provided that are useful in the treatment of inflammatory and immune-related conditions or disorders. In particular, the invention provides compds. that modulate the expression and/or function of proteins involved in inflammation, immune response regulation and cell proliferation. IC50 values for inhibition of IRAK-1 and IRAK-4 (IRAK = IL-1 receptor associated kinase) are tabulated for about 30 I. For I: R1 = H, (C1-C8)alkyl, hetero(C1-C8)alkyl, fluoro(C1-C4)alkyl, cycloalkyl(C1-C8)alkyl, heterocyclo(C1-C8)alkyl, aryl, aryl(C1-C8)alkyl, arylhetero(C1-C8)alkyl and heteroaryl; R2 = (C1-C8)alkyl, hetero(C1-C8)alkyl, perfluoro(C1-C4)alkyl, aryl and heteroaryl. Y = C(O), S(O)m (m = 1-2), S(O)2NR', C(O)NR', CR3R4, C(NR'), C(:CR3R4), CR3(OR') and CR3(NR'R''). Z1 and Z2 = H, halogen, CN, CO2R', CONR'R'', (C1-C4)alkyl, (C1-C4)heteroalkyl, perfluoro(C1-C4)alkyl, aryl, heteroaryl, NR'R'' and OR', or Z' and Z2 may be combined to form an addnl. fused 5-, 6-, 7- or 8-membered cycloalkane, heterocycloalkane, aromatic or heteroarom. ring. R3 and R4 = H, CN, CO2R', CONR'R'', (C1-C4)alkyl, (C1-C4)heteroalkyl, aryl, heteroaryl, NR'R'' and OR'. R' and R'' = H, (C1-C4)alkyl, hetero(C1-C4)alkyl, aryl and aryl(C1-C4)alkyl; alternatively, when R' and R'' are attached to N, R' and R'' may be combined with the N atom to form a 5-, 6- or 7-membered ring; and alternatively, when Y is CR3R4, C(NR'), C(:CR3R4), CR3(OR') or CR3(NR'R''), R3, R4 or R' may be combined with R2 to form a 5-, 6-, 7- or 8-membered ring containing 0-3 heteroatoms O, N, Si and S; with the proviso that R1 is not 3-(dialkylamino)propyl when Y is C(O) and Z1 and Z2 are combined to form an addnl. fused benzene ring. Although the methods of preparation are not claimed, 35 example prepns. are

included.

MSTR 1



G36 = cyclohexylene
G10+G19= 102-2 105-3



Patent location: claim 1
Note: or pharmaceutically acceptable salts or prodrugs
Note: substitution is restricted

REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

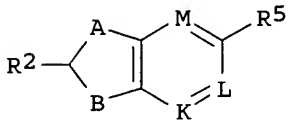
L20 ANSWER 6 OF 10 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 138:165718 MARPAT
TITLE: Probes for direct binding assay for identifying inhibitors of hepatitis C virus RNA-dependent RNA polymerase
INVENTOR(S): Kukolj, George; Beaulieu, Pierre L.; McKercher, Ginette
PATENT ASSIGNEE(S): Boehringer Ingelheim (Canada) Ltd., Can.
SOURCE: PCT Int. Appl., 125 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003014377	A2	20030220	WO 2002-CA1214	20020805
WO 2003014377	A3	20031218		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 2003108862 A1 20030612 US 2002-211455 20020802
CA 2450142 AA 20030220 CA 2002-2450142 20020805
EP 1417493 A2 20040512 EP 2002-753998 20020805
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK
JP 2005500055 T2 20050106 JP 2003-519506 20020805
PRIORITY APPLN. INFO.: US 2001-310272P 20010807
WO 2002-CA1214 20020805

GI



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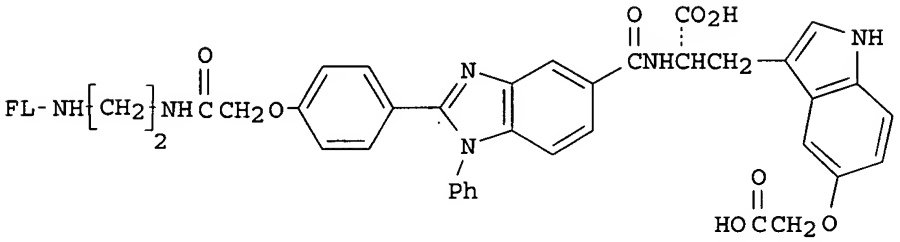
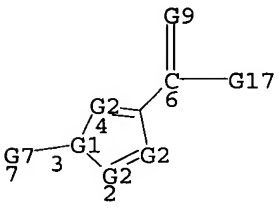


II

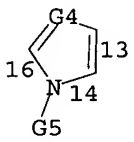
AB A method for identifying compds. binding to hepatitis C virus (HCV) RNA-dependent RNA polymerase is provided. HCV polymerase or an analog is contacted with a probe formula I, wherein A is O, S, N, NR1, or CR1, wherein R1 is defined as either a single or a double bond; R2 is selected from H, halogen, R21, OR21, SR21, COOR21, SO2N(R22)2, N(R22)2, CON(R22)2, NR22C(O)R22 or NR22C(O)NR22, wherein R21 and each R22 is defined herein; B is NR3 or CR3, wherein R3 is defined herein; with the proviso that, when A is not N, then one of A or B is either CR1 or CR3, K is N or CR4, wherein R4 is defined herein; L is N or CR5, wherein R5 has the same definition as R4 defined above; M is N or CR7, wherein R7 has the same definition as R4 defined above;R5 is C(Y1)Z wherein Y1 is O or S; and Z is N(R6a)R6 or OR6, wherein R6a is H or alkyl or NR61R62 wherein R61 and R62 are defined herein; and R6 is H, alkyl, cycloalkyl, alkenyl, Het, alkyl-aryl, alkyl-Het; or R6 is wherein R7 and R8 and Q are as defined herein; Y2 is O or S; R9 is H, (C1-6 alkyl), (C3-7)cycloalkyl or (C1-6)alkyl-(C3-7)cycloalkyl, aryl, Het, (C1-6)alkyl-aryl or (C1-6)alkyl-Het, all of which optionally substituted with R90; or R9 is covalently bonded to either of R7 or R8 to form a 5- or 6-membered heterocycle; or a salt thereof; where the probe comprises a detectable label attached to any suitable position, whereby said probe binds to an HCV polymerase or an analog thereof and is capable of being displaced by an inhibitor thereof. The association of a specific probe with the HCV NS5B polymerase can be monitored and quantified directly by a change in the intrinsic spectral properties of a tagged or un-tagged NS5B protein and/or by a change i the intrinsic spectral properties of a specific probe. A direct measurement of

inhibitor-NS5B association can also be achieved by immobilizing one of these two components on a matrix and measuring association through plasma-resonance detection technol. An assay that quantifies probe-NS5B complex association may also incorporate a photo-reactive label (such as phenyl-azide or benzophenone) on the probe and measure the amount of label irreversibly bound to the NS5B adduct following photo-activation of the probe. Thus, titration of fluorescein-labeled probe II (FL = 5-thiocarbonylaminofluorescein) with the enzyme was measured with excitation wavelength at 493 nm and emission monitored at 530 nm, indicating a Kd value of 6 nM, which is ≥100-fold higher for HCV polymerase than obtained with the GBV-B polymerase. A major advantage of the direct binding assay is that different affinities for the primer/template RNA substrate with N-terminal tag His-NS5BΔ21 and C-terminal tag NS5BΔ21-His are reconciled by relatively similar Kd values that individual inhibitors display with the two different HCV polymerases.

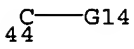
MSTR 1



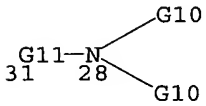
G1 = 16-7 13-4 14-2



G2 = N / 44



G4 = N
G5 = cyclohexyl
G7 = 31

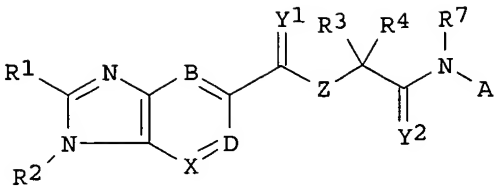


Patent location: claim 2
Note: substitution is restricted
Note: and tautomers, salts or derivatives
Stereochemistry: and isomers, enantiomers and diastereomers

L20 ANSWER 7 OF 10 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 138:153533 MARPAT
TITLE: Preparation of benzimidazoles as viral polymerase inhibitors
INVENTOR(S): Beaulieu, Pierre Louis; Fazal, Gulrez; Goulet, Sylvie; Kukolj, George; Poirier, Martin; Tsantrizos, Youla S.
PATENT ASSIGNEE(S): Boehringer Ingelheim (Canada) Ltd., Can.
SOURCE: PCT Int. Appl., 166 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003007945	A1	20030130	WO 2002-CA1129	20020718
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2448737	AA	20030130	CA 2002-2448737	20020718
US 2003236251	A1	20031225	US 2002-198259	20020718
US 6841566	B2	20050111		
EP 1411928	A1	20040428	EP 2002-750716	20020718
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
JP 2005501827	T2	20050120	JP 2003-513553	20020718
PRIORITY APPLN. INFO.:			US 2001-306669P	20010720
			US 2001-338324P	20011207
			WO 2002-CA1129	20020718

GI



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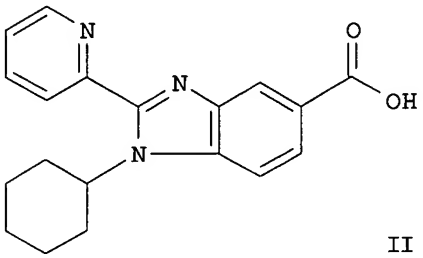
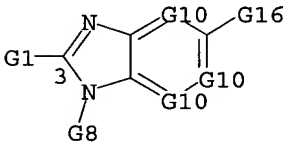


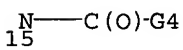
II

AB Title compds. I [R1 = alkoxy, sulfanyl, carboxy, sulfonamido, amino, carboxamido, etc.; R2 = alkyl, haloalkyl, cycloalkyl, cycloalkenyl, etc.; B, D, X = N, CR5; R5 = H, halo, alkyl, etc.; Z = N, O, NR6; R6 = H, alkyl, cycloalkyl, etc.; R3-4 = H, alkyl, haloalkyl, cycloalkyl, etc.; Y1-2 = O, S; R7 = H, alkyl, cycloalkyl, etc.] are prepared For instance, Et 4-chloro-3-nitrobenzoate (preparation given) is treated with cyclohexylamine (DMSO, 60°, 5 h) and reduced to the corresponding aniline (MeOH, H2-Pd(OH)2/C). This intermediate is treated with 2-pyridinecarboxaldehyde (DMF, oxone) and the resulting adduct saponified (NaOH, HOAc) to give II. Example compds. have IC50 in the hepatitis C RNA-dependent polymerase assay of less than 25 μM.

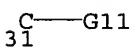
MSTR 1



G3 = 15



G8 = cyclobutyl
G10 = N / 31



Patent location: claim 1

Note: or tautomers, salts or derivatives
Note: also incorporates claims 56, 57 and 58
Stereochemistry: or isomers, enantiomers, or diastereomers

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 8 OF 10 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 136:200200 MARPAT
TITLE: Preparation of imidazoquinazolinones as inhibitors of tyrosine kinases
INVENTOR(S): Snow, Roger John; Gao, Donghong A.; Goldberg, Daniel R.; Hammach, Abdelhakim; Kuzmich, Daniel; Morwick, Tina Marie; Moss, Neil; Prokopowicz, Anthony S., III; Selliah, Robert D.; Takahashi, Hidenori
PATENT ASSIGNEE(S): Boehringer Ingelheim Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 147 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002014319	A2	20020221	WO 2001-US24390	20010802
WO 2002014319	A3	20020801		
W: CA, JP, MX				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR				
CA 2417635	AA	20020221	CA 2001-2417635	20010802
US 2002119975	A1	20020829	US 2001-921510	20010802
US 6489328	B2	20021203		
EP 1309596	A2	20030514	EP 2001-957425	20010802
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY, TR				
JP 2004506636	T2	20040304	JP 2002-519459	20010802
US 2003207902	A1	20031106	US 2002-271222	20021015
US 6844435	B2	20050118		

PRIORITY APPLN. INFO.: US 2000-224724P 20000811
US 2001-921510 20010802
WO 2001-US24390 20010802

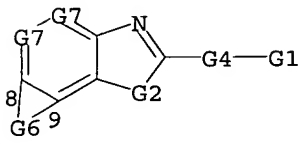
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

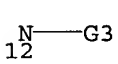
AB The title compds. [I; Ar1 = (un)substituted (non)aromatic carbocycle, heteroaryl, heterocycle; X = NH, N(alkyl), N(cyclopropyl), S, O; Y = NR13; Het = II-IV (wherein R4 = H, alkyl, Ph, etc.; R5 = H, alkyl, cycloalkyl, etc.; R6 = H, alkyl, alkenyl, etc.; R7 = H, alkyl); R13 = H, alkyl; P, Q = CH, N], useful as inhibitors of certain protein tyrosine kinases and are thus useful for treating diseases resulting from inappropriate cell proliferation, which include autoimmune diseases, chronic inflammatory diseases, allergic diseases, transplant rejection and cancer, as well as conditions resulting from cerebral ischemia, such as stroke, were prepared E.g., a multi-step synthesis of V, starting with 6-chloroanthranilic acid,

was given. All exemplified compds. I showed IC50 of < 10 μM in p56 lck tyrosine kinase assay.

MSTR 1



G2 = 12



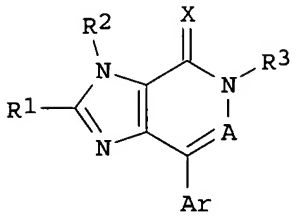
G3 = cyclopropyl
G4 = NH
G7 = CH / N
Patent location: claim 1
Note: and pharmaceutically acceptable derivatives and amino protecting groups
Note: additional ring formation also claimed
Note: substitution is restricted

L20 ANSWER 9 OF 10 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 133:74025 MARPAT
TITLE: 1H-Imidazo[4,5-d]pyridazin-7-ones, 3H-imidazo[4,5-c]pyridin-4-ones, and corresponding thiones as corticotropin releasing factor (CRF) receptor ligands
INVENTOR(S): Gilligan, Paul Joseph; Bakthavatchalam, Rajagopal
PATENT ASSIGNEE(S): Du Pont Pharmaceuticals Company, USA
SOURCE: PCT Int. Appl., 93 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000039127	A1	20000706	WO 1999-US31325	19991230
W: AL, AU, BR, CA, CN, CZ, EE, HU, IL, IN, JP, LT, LV, MK, MX, NO, NZ, PL, RO, SG, SI, SK, TR, UA, VN, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
US 6271380	B1	20010807	US 1999-473870	19991228
CA 2351724	AA	20000706	CA 1999-2351724	19991230
EP 1140929	A1	20011010	EP 1999-966736	19991230
EP 1140929	B1	20031015		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
AT 251930	E	20031115	AT 1999-966736	19991230

PT 1140929	T	20040227	PT 1999-966736	19991230
ES 2209550	T3	20040616	ES 1999-966736	19991230
US 6518271	B1	20030211	US 2000-634784	20000809
PRIORITY APPLN. INFO.:			US 1998-114188P	19981230
			US 1999-473870	19991228
			WO 1999-US31325	19991230

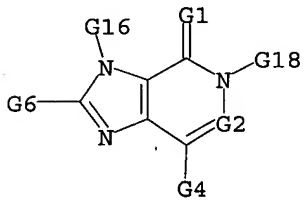
GI



I

AB Title compds. such as I (A = N, CR4; Ar = Ph, naphthyl, pyridyl, pyrimidinyl, benzofuranyl, etc.; X = O, S; R1 = H, alkyl, alkenyl, etc.; R2, R3 = H, aryl, heteroaryl, alkyl, alkenyl, etc.; R4 = H, alkyl, cycloalkyl) were prepared as corticotropin releasing factor (CRF) receptor ligands. Thus, I (A = N, Ar = 2,4-dichlorophenyl, X = O, R1 = Et, R2 = CHEt2, R3 = H) was prepared in 6 steps from 4,5-dibromo-2-ethyl-1H-imidazole. Radioligand binding expts. and the inhibition of CRF-stimulated adenylate cyclase activity were described.

MSTR 1



G2 = 14

G6 = NH2
G16 = cyclobutyl
Derivative: and pharmaceutically acceptable salts or pro-drug forms
Patent location: claim 1
Stereochemistry: and isomers, stereoisomeric forms, or mixtures of stereoisomeric forms

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 10 OF 10 MARPAT COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 130:110276 MARPAT
TITLE: Preparation of imidazopyrimidines and imidazopyridines for the treatment of neurological disorders
INVENTOR(S): Wilde, Richard G.; Bakthavatchalam, Rajagopal; Beck, James P.; Arvanitis, Argyrios G.
PATENT ASSIGNEE(S): Du Pont Pharmaceuticals Company, USA
SOURCE: PCT Int. Appl., 325 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9901454	A1	19990114	WO 1998-US13913	19980702
W: AU, BR, CA, CN, CZ, EE, HU, IL, JP, KR, LT, LV, MX, NO, NZ, PL, RO, SG, SI, SK, UA, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2294117	AA	19990114	CA 1998-2294117	19980702
AU 9881819	A1	19990125	AU 1998-81819	19980702
AU 746706	B2	20020502		
ZA 9805818	A	20000110	ZA 1998-5818	19980702
EP 994877	A1	20000426	EP 1998-931795	19980702
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI, RO				
EE 9900607	A	20000815	EE 1999-607	19980702
EE 4280	B1	20040415		
BR 9810508	A	20000905	BR 1998-10508	19980702
US 6143743	A	20001107	US 1998-109877	19980702
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MX 9911669	A	20000531	MX 1999-11669	19991214
NO 9906483	A	20000302	NO 1999-6483	19991227
NO 316119	B1	20031215		
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PRIORITY APPLN. INFO.:	
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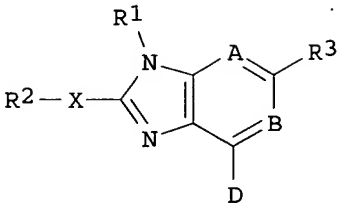
US 1998-208778	19981210

GI



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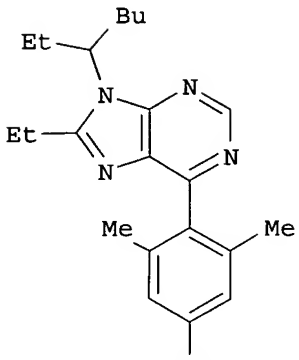
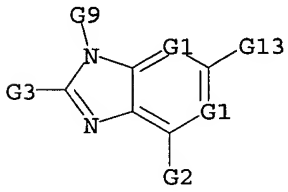


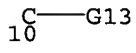
II

AB The title compds. [I; A = N, CR7; B = N, CR8; at least one of A and B = N; D = aryl or heteroaryl attached through an unsatd. carbon atom; X = CHR9, NR10, O, S(O)n, a bond; n = 0-2; R1 = C1-10 alkyl, C2-10 alkenyl, C2-10 alkynyl, etc.; R2 = C1-4 alkyl, C3-8 cycloalkyl, C2-4 alkenyl, etc.; R3, R7, R8 = H, halo, CN, etc.; R9, R10 = H, C1-4 alkyl, C3-6 cycloalkyl, etc.], corticotropin releasing factor (CRF) antagonists (no data) useful in treating psychiatric disorders and neurol. diseases, anxiety-related disorders, post-traumatic stress disorder, supranuclear palsy and feeding disorders as well as treatment of immunol., cardiovascular or heart-related diseases and colonic hypersensitivity associated with psychopathol. disturbance and stress in mammals, were prepared and formulated. Thus, a 6-step synthesis of purine II, starting with 5-amino-4,5-dichloropyrimidine and benzylamine, is given. Compds. I are effective at 0.01-10 mg/kg/day.

MSTR 1



G1 = 1 or more N / 10



G7 = NH
G9 = cyclobutyl
Derivative: or pharmaceutically acceptable salts
Patent location: claim 1
Note: substitution is restricted
Stereochemistry: or stereoisomers

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT